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* * * * * * * * * * Welcome to STN International
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NEWS 1
                 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 3 OCT 07
                 EPFULL enhanced with full implementation of EPC2000
NEWS 4 OCT 07 Multiple databases enhanced for more flexible patent
                 number searching
NEWS 5 OCT 22 Current-awareness alert (SDI) setup and editing
                 enhanced
NEWS 6 OCT 22
                 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                 Applications
NEWS
     7 OCT 24 CHEMLIST enhanced with intermediate list of
                 pre-registered REACH substances
     8 NOV 21 CAS patent coverage to include exemplified prophetic
NEWS
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
NEWS 9 NOV 26 MARPAT enhanced with FSORT command
NEWS 10 NOV 26 MEDLINE year-end processing temporarily halts
                 availability of new fully-indexed citations
NEWS 11 NOV 26 CHEMSAFE now available on STN Easy
NEWS 12 NOV 26 Two new SET commands increase convenience of STN
                 searching
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NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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STRUCTURE FILE UPDATES: 5 DEC 2008 HIGHEST RN 1080697-25-1 DICTIONARY FILE UPDATES: 5 DEC 2008 HIGHEST RN 1080697-25-1

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=> d l1 NO L# DEFINED

There are no L# queries, structures, or screen sets defined in the current session.

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ENTER SCREEN EXPRESSION OR (END):end

=>

Uploading C:\Program Files\Stnexp\Queries\10565979-res.str

```
chain nodes :
7 14 15
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
ring/chain nodes :
16 17
chain bonds :
6-7 7-8 11-14 14-15 15-16 15-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
6-7 7-8 11-12 11-14 14-15 15-16 15-17
exact bonds :
8-9 8-13 9-10 10-11 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 8 :
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS

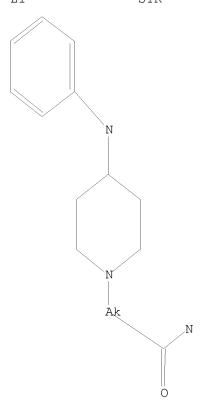
L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> d 11

L1 HAS NO ANSWERS L1STR



Structure attributes must be viewed using STN Express query preparation.

6 ANSWERS

=> s 11

SAMPLE SEARCH INITIATED 10:35:44 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 5629 TO ITERATE

35.5% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 108081 TO 117079 91 TO 583 PROJECTED ANSWERS:

6 SEA SSS SAM L1 L3

=> d scan

6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN 1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-5-quinolinyl-C23 H25 Cl N4 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):200

6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN 1-Piperidinebutanamide, N,N-dimethyl-4-[(1-oxopropyl)phenylamino]- α -diphenyl- C32 H39 N3 $\odot 2$

$$\begin{array}{c|c} & & Ph & \\ & Ph & \\ \hline & CH_2-CH_2-C-C-NMe_2 \\ & Ph \\ \hline & Ph \\ \hline & \\ Et-C-N \\ & & \\ & & \\ & & \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-(phenylamino)-c27 H30 N4 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 ANSMERS REGISTRY COPYRIGHT 2008 ACS on STN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]-N-(4-phenoxyphenyl)- C27 H31 N3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN 1-Piperidineacetamide, N-[3-(1-hydroxyethyl)phenyl]-4-[[2-(hydroxymethyl)-3-methoxyphenyl]mino]-MF C23 H31 N3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN 1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-[4-(1-hydroxymethyl)phenyl]
MF C22 H28 F N3 O3

$$\begin{array}{c} \text{OH} \\ \text{CH}_2 - \text{CH}_2 - \text{CH}_3 \\ \text{CH}_2 - \text{OH} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s 11 full

FULL SEARCH INITIATED 10:35:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 112731 TO ITERATE

100.0% PROCESSED 112731 ITERATIONS

519 ANSWERS

SEARCH TIME: 00.00.03

L4 519 SEA SSS FUL L1

=> file caplus FILE 'CAPLUS' ENTERED AT 10:36:05 ON 08 DEC 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 8 Dec 2008 VOL 149 ISS 24 FILE LAST UPDATED: 7 Dec 2008 (20081207/ED)

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=> s 14

L5 27 L4

=> d cbib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 27 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2008:1244685 Document No. 149:471110 N-Hydroxy carboxamides as inhibitors

histone deacetylase and their preparation and use in the treatment of HDAC-mediated diseases. Tessier, Pierre; Leit, Silvana; Smil, David; Deziel, Robert; Ajamian, Alain; Chantiqny, Yves Andre; Dominquez, Celia (Methylgene Inc., Can.). PCT Int. Appl. WO 2008122115 A1 20081016,

333pp.

DESIGNATED STATES: W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH,

BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JF, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MN, MY, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, PL, FT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT; RN: AT, BE, BF, BT, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, LE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2008-CA631 20080409. PRIORITY: US 2007-922505P 20070409.

This invention relates to compds. of formula I for the inhibition of histone deacetylase. More particularly, the invention provides for compds. of formula compds. of the formula I and N-oxides, hydrates, solvates, pharmaceutically acceptable salts, prodrugs and complexes thereof, and racenic and scalemic mixts, diastereomers and enantiomers thereof. Compds. of formula I whereIn M is alkyl, NHOM and derivs., CER, COHN2 and derivs., beteroaryl, H, OH, CO2H and derivs., etc.; X is CR, C(OH), C-Cl-4 alkyl, C-halo, C-(heterolaryl, etc.; L and Y are independently Cl-4 alkyl, heteroaryl, alkenyl, alkynyl, NH2 and derivs., OH and derivs., etc.; and N-oxides, solvates, pharmaceutically acceptable salts, prodrugs, complexes, racemic mixts., scalemic mixture, tereomers, and enantiomers thereof. are claimed. AB diastereo

and enantiomers thereof, are claimed. Example compound II was prepared

methylation of diphenylacetic acid followed by amidation with hydroxylamine. All the invention compds. were evaluated for their HDAC inhibitory activity. From the assay, it was determined that compound II exhibited IC60 value of $\leq 1~\mu M$.

IO/O/DI-05-/F RI: FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

15 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
2008:551640 Document No. 148:509953 Preparation of phenylpropionamide compounds for treating disorders responsive to activation of opicid receptors. Zhou, Xiaoming (Purdue Pharma L.P., USA). PCT Int. Appl. W 2008053352 A2 20080508, S3pp. DESIGNATED STATES: W: AE, AG, AL, AM, A AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GB, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, CM, FG, FH, FI, FT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TM, TT, TZ, TX, RM: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, FT, SE, SN, TD, TG, TR. (English). CODEN: FIXXDZ. APPLICATION: WO 2007-IB3411 20071031. PRIORITY: US 2006-855826F 20061101.

II

The invention relates to phenylpropionamide compds. of Formula I and pharmaceutically acceptable salts, prodrugs, and solvates thereof,

ein A is cycloalkyl, heterocycloalkyl, aryl, or heteroaryl; B is (CH2)m wherein m is 0-12; R1 is H, halo, Cl-6-alkyl, etc.; R2 is Cl-6-alkyl, C2-6-alkenyl, etc.; R3 and R4 are independently cycloalkyl, heterocycloalkyl, aryl and heteroaryl; and R5 is H, CN, Cl-6-alkyl, etc. The invention is also directed to the use of compds. of Formula I to treat, prevent or ameliorate a disorder responsive to the activation of opiold receptors, particularly \(\mu \)-opiold receptors. Compds. of the present invention are especially useful for treating pain. Synthesis of

exemplified. Example compound II was prepared by reacting 3-cyano-3,3-diphenylpropylbromide with N-[piperidin-4-yl]-N-phenylpropionanide. In a μ -opioid receptor binding assay, II had a Ki of 82.83 nM. 1021954-04-0P, N,N-Dimethyl-2,2-diphenyl-4-[4-[N-phenyl-N-(propionyl) amino]piperidin-1-yl]butanamide 1021954-05-1P, N-[1-[4-0xo-3,3-diphenyl-4-(pyrrolidin-1-yl)butyl]piperidin-4-yl]-N-

ANSWER 1 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) (drug candidate; prepn. of N-hydroxy carboxamide derivs. as histone deacetylase inhibitors useful in the treatment of HDAC-mediated diseases) 1070701-69-7 CAPLUS 1-Piperidineacetamide, N-hydroxy-4-[(1-oxopropyl)phenylamino]-α-phenyl- (CA INDEX NAME)

ANSWER 2 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) phenylpropionamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; prepn. of phenylpropionamide compds. for use in treating diseases responsive to opioid receptor activation)
1-Piperidinebutanamide, N,N-dimethyl-4-[(1-oxopropyl)phenylamino]α,α-diphenyl- (CA INDEX NAME)

1021954-05-1 CAPLUS
Propanamide, N-[1-[4-0x0-3,3-diphenyl-4-(1-pyrrolidinyl)butyl]-4-piperidinyl]-N-phenyl- (CA INDEX NAME)

L5 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2008:548314 Document No. 148:538082 Preparation of phenylamino-substituted piperidine compounds as NPT5 receptor regulators. García-Lopez, Monica; Mas-Prio, Josep; Torrens-Jover, Antonio (Laboratorios Del Dr. Esteve

Spain). PCT Int. Appl. WO 2008052769 A1 20080508, 90pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, EE, GH, GM, TN, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KW, KN, KW, KW, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MW, MX, MX, MX, S, S, S, SK, SL, SM, SV, SY, TJ, TM, TN, TT, TZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GK, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, FT, SE, SN, TD, TG, TR. (English). COBNN FIXENZA. APPLICATION: WO 2007-EP9465 20071031. PRIORITY: EP 2006-384017 20061102.

 \star structure diagram too large for display - available via offline print \star

Title compds. I [X, Y = H, halo, nitro, etc.; R1-R3 = H, halo, aliphatic radical, etc.; R5 = H, aliphatic radical or -A-CO-NR10R11; R6-R9 = H,

aliphatic
radical, cyano, etc.; A = -CHR18 or -CHR18-CH2-; R10 = H or aliphatic
radical; R11 = aliphatic radical, cycloaliph. radical, aryl radical,

radical; R11 = aliphatic radical, cycloaliph. radical, aryl radical,
;
R18 = H or aliphatic radical] or stereoisomers (preferably enantiomers or
diastereomers), racemates, mixts. of at least two of stereoisomers or
diastereomers), racemates, mixts. of at least two of stereoisomers or
diastereomers), racemates, mixts. of at least two of stereoisomers
(preferably enantiomers or diastereomers, in any mixing ratio), salts
(preferably physiol. acceptable salts), or solvates thereof were prepared
Thus, a multi-step synthesis of compound II [R = 0H; Z = -CO-], starting
from 3-aminofluoren-9-one, was given. In Neuropeptide V5 (NPV5) binding
assays, the IC50 value of compound II [R = H; Z = -M(Rt)-] (III) was 23.7
nM. Compds. I are claimed useful for the treatment of obesity, anorexia,
etc. Pharmaceutical composition comprising compound III is disclosed.
1023288-73-4P 1023288-74-5P 1023288-75-6P
1023288-6-1P 1023288-73-8P 1023288-87-6P
1023288-89-10 1023288-80-3P 1023288-81-4P
1023288-82-5P 1023288-86-9P 1023288-80-5P
1023288-89-1P 1023288-89-2P 1023288-90-5P
1023288-91-6P 1023288-92-7P 1023288-96-1P
1023288-91-6P 1023288-93-6P 1023288-96-1P
1023289-00-0P 1023289-01-1P 1023289-02-2P
1023289-03-3P 1023288-98-3P 1023288-98-P
1023289-00-0P 1023289-01-7P 1023289-02-P
1023289-09-9P 1023289-01-7P 1023289-08-8P
1023289-09-9P 1023289-01-7P
RL: PAC (Pharmacological activity), SPN (Synthetic preparation), THU
(Therapeutic use). REMOX. (Mindoreal study), PREP (Preparation), USES

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of phenylamino-substituted piperidine compds. as NPY5 receptor regulators)

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1023288-78-9 CAPLUS 1-Piperidineacetamide, y1)- (CA INDEX NAME) 4-[(2-hydroxyphenyl)amino]-N-(9-oxo-9H-fluoren-3-

1023288-79-0 CAPLUS

1-Piperidineacetamide, N-(4-acetylphenyl)-4-[(2-hydroxyphenyl)amino]-

INDEX NAME)

RN 1023288-80-3 CAPLUS

1-Piperidineacetamide, N-(3-acetylphenyl)-4-[(2-hydroxyphenyl)amino]-

INDEX NAME)

RN 1023288-81-4 CAPLUS CN 1-Piperidineacetamide, 4-[(2-hydroxyphenyl)amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 1023288-73-4 CAPLUS (Continued)

RN

1-Piperidineacetamide, 4-[(2-hydroxyphenyl)amino]-N-3-quinolinyl- (CA INDEX NAME)

1023288-74-5 CAPLUS 1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[(2-hydroxyphenyl)amino]-(CA INDEX NAME)

1023288-75-6 CAPLUS 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[(2-budroxyphenyl)amino]- (CA INDEX NAME)

1023288-76-7 CAPLUS

1-Piperidineacetamide, -cyclohexylphenyl)-4-[(2-hydroxyphenyl)amino]-(CA INDEX NAME)

1023288-77-8 CAPLUS

The principal of the second of

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1023288-82-5 CAPLUS 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[(3-hydroxyphenyl)amino]- (CA INDEX NAME)

1023288-83-6 CAPLUS

1-Piperidineacetamide, 4-[(3-hydroxyphenyl)amino]-N-(9-oxo-9H-fluoren-3-yl)- (CA INDEX NAME) CN

1023288-84-7 CAPLUS

1-Piperidineacetamide, N-[4-[ethy1(2-hydroxyethy1)amino]pheny1]-4-[(3-hydroxypheny1)amino]- (CA INDEX NAME)

1023288-85-8 CAPLUS 1-Fiperidineacetamide, 4-[(3-hydroxyphenyl)amino]-N-6-quinolinyl- (CA INDEX NAME)

L5 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN

INDEX NAME)

1023288-87-0 CAPLUS 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[(4-hydroxyphenyl)amino]- (CA INDEX NAME)

1023288-88-1 CAPLUS

avezezo-ou-1 chrubs 1-Piperidineacetamide, 4-[(4-hydroxyphenyl)amino]-N-(9-oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

1023288-89-2 CAPLUS 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[(2-methoxyphenyl)amino]- (CA INDEX NAME)

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1023288-94-9 CAPLUS 1-Piperidineacetamide, N-(4-benzoylpheny1)-4-[(2-methoxypheny1)amino]-(CA INDEX NAME)

1023288-95-0 CAPLUS

1023288-95-0 CAPLUS
1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[(3-methoxyphenyl)amino]- (CA INDEX NAME)

1023288-96-1 CAPLUS

1-Piperidineacetamide, yl) - (CA INDEX NAME) 4-[(3-methoxypheny1)amino]-N-(9-oxo-9H-fluoren-3-

1023288-97-2 CAPLUS 1-Piperidineacetamide, N-[4-[ethy1(2-hydroxyethy1)amino]pheny1]-4-[(3-methoxypheny1)amino]- (CA INDEX NAME)

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

1023288-90-5 CAPLUS

10-2320-30-3 CAFBOS | CAFBOS |

(Continued)

1023288-91-6 CAPLUS 1-Fiperidineacetamide, 4-[(2-methoxyphenyl)amino]-N-6-quinolinyl- (CA INDEX NAME)

1023288-92-7 CAPLUS 1-Piperidineacetamide, N-(4-acetylphenyl)-4-[(2-methoxyphenyl)amino]-

INDEX NAME)

1023288-93-8 CAPLUS 1-Fiperidineacetamide, 4-[(2-methoxypheny1)amino]-N-3-quinoliny1- (CA INDEX NAME)

L5 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1023288-98-3 CAPLUS 1-Fiperidineacetamide, N-(4-benzoylphenyl)-4-[(3-methoxyphenyl)amino]-(CA INDEX NAME)

RN

1023288-99-4 CAPLUS 1-Piperidineacetamide, N-(9-ethy1-9H-carbazo1-3-y1)-4-[(4-methoxyphenyl)amino]- (CA INDEX NAME)

1023289-00-0 CAPLUS 1-Piperidineacetamide, 4-[(4-methoxyphenyl)amino]-N-(9-oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

1023289-01-1 CAPLUS
1-Piperidineacetamide, N-[4-[ethyl(2-hydroxyethyl)amino]phenyl]-4-[(4-methoxyphenyl)amino]- (CA INDEX NAME)

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

BM 1023289_02_2 CAPLIE

INCISCO-02-2 CRENOS
1-Piperidineacetamide, 4-[(4-methoxyphenyl)amino]-N-(4-phenoxyphenyl)-(CA INDEX NAME)

RN 1023289-03-3 CAPLUS CN 1-Piperidineacetamide, 4-[(4-methoxyphenyl)amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

1023289-04-4 CAPLUS

INDEX NAME) (CA

1023289-05-5 CAPLUS

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ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1023289-10-2 CAPLUS
1-Fiperidimacetamide, 4-[(4-hydroxyphenyl)[2-0x0-2-[(9-0x0-9H-fluoren-3-yl)amino]ethyl]amino]-N-(9-0x0-9H-fluoren-3-yl)- (CA INDEX NAME)

PAGE 1-B

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1023289-06-6 CAPLUS
1-Piperidineacetamide, N-[4-[ethyl(2-hydroxyethyl)amino]phenyl]-4-(phenylamino) - (CA INDEX NAME)

1023289-07-7 CAPLUS
1-Piperidineacetamide, 4-(phenylamino)-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

1023289-08-8 CAPLUS

1-Piperidineacetamide, N-(4-phenoxyphenyl)-4-(phenylamino)- (CA INDEX

1023289-09-9 CAPLUS
1-Piperidineacetamide, 4-[[2-oxo-2-[(4-phenoxyphenyl)amino]ethyl]phenylamino]-N-(4-phenoxyphenyl)- (CA INDEX

L5 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2007:1138519 Document No. 148:55361 Development of Novel Enkephalin Analoques that Have Enhanced Opioid Activities at Both μ and δ Opioid Receptors. Lee, Yeon Sun; Petrov, Ravil; Park, Chad K.; Ma, Shou-wu; Davis, Peg; Lai, Uosephine; Portreca, Frank; Vardanyan, Ruben; Hruby, Victor J. (Departments of Chemistry and Pharmacology, University of

Arizona, Tucson, AZ, 85721, USA). Journal of Medicinal Chemistry,

Arizona, Tucson, AZ, 85721, USA). Journal of Medicinal Chemistry, 50(22), 52(8), 532 (English) 2007. CODEN: JMCMAR. ISSN. 0022-2623. CTHER SOURCES: CASREACT 148:55361. Publisher: American Chemical Society.

AB Enkephalin analogs with a 4-anilidopiperidine scaffold have been designed and synthesized to achieve therapeutic benefit for the treatment of pain due to mixed μ and δ opioid agonist activities. Ligand H-Dmt-D-Ala-Gly-Phe-Q, in which a Dmt-substituted enkephalin-like structure was linked to the N-Phenyl-N-piperidin-4-yl propionamide moiety (Q), showed very high binding affinities (0.4 mM) at μ and δ receptors with an increased hydrophobicity (aloghe 2.26). This novel lead compound was found to have very potent agonist activities in MVD (1.8

(1.8

(1.8 nM) and GPI (8.5 nM) assays.

IT 959785-88-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of enkephalin anilidopiperidine analogs having enhanced opioid

activities at both μ and δ opioid receptors) 959785-88-7 CAPLUS

959785-88-7 CAPLUS L-Phenylalanine, L-tyrosyl-D-alanylglycyl-,

2-[1,4-dioxo-4-[4-[(1-oxopropy1)phenylamino]-1-piperidiny1]buty1]hydrazide (CA INDEX NAME)

Absolute stereochemistry

PAGE 1-B

PAGE 1-A

L5 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

L5 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 100 µM, preferably at less than 1 µM.

IT 943785-82-8P, Methyl 4-[[1-[2-oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]benzoate

RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(amide inhibitors of leukotriene A4 hydrolase for treating inflammatory

disorders and other diseases)

RN 943785-82-8 CAPLUS

CB Benzoic acid, 4-[[1-[2-oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]-, methyl ester (CA INDEX NAME)

943785-83-9P, 4-[[1-[2-Oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]benzolc acid 943789-29-5P, 4-[Methyl]-[1-[2-Oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]benzolc acid 943789-30-8P, 3-Methyl-4-[[1-[2-Oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]benzolc acid 943789-31-9P, 2-Methyl-4-[[1-[2-Oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]benzolc acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(drug candidate; amide inhibitors of leukotriene A4 hydrolase for treating inflammatory disorders and other diseases)
943785-83-9 CAPLUS
Benzoic acid, 4-[[1-[2-oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]- (CA INDEX NAME)

943789-29-5 CAPLUS

Benzoic acid, 4-[methyl[1-[2-oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]- (CA INDEX NAME)

943789-30-8 CAPLUS

L5 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2007:731161 Document No. 147:143472 Preparation of amide inhibitors of leukotriene A4 hydrolase for treating inflammatory disorders. Chen, ...

Ming;

GT

Claret, Emmanuel; Cleve, Arwed; Davey, David; Guilford, William; Khim, Seock-Kyu; Kirkland, Thomas; Kochanny, Monica J.; Liang, Amy; Light, David; Parkinson, John; Vogel, David; Wei, Guo Ping; Ye, Bin; Ye, Hong (Schering Aktiengessellschaft, Germany). U.S. Pat. Appl. Publ. US 20070155727 Al 20070705, 107pp. (English). CODEN: USXXCO. APPLICATION: US 2006-044822 20061222. PRIORITY: US 2005-755732P 20051229; US 2006-835489P 20060804.

This invention is directed to compds. of formula (I) (where r=0-4; q=0-2; R=(un) substituted benzyl, (un) substituted heteroaryl, etc.; R2 is H, alkyl, haloalkyl, etc., or forms part of a heterocyclic ring; R3 is a direct bond, -0-, (un) substituted straight or branched alkylene chain, etc.; R4a, R4b and R4c are independently a direct bond, (un) substituted straight or branched alkylene chain, etc.; each R5a, R5b, R5c, R6a, R6b and R6c is independently H, alkyl, haloalkyl, etc., or in some cases form part of a cycloalkyl ring; R7 is H, alkyl, haloalkyl, etc., or forms part of a heterocyclic ring; R8 is H, alkyl, haloalkyl, haloalkeyl, (un) substituted aralkyl; each R9 is independently H, alkyl, haloalkyl, haloalkyl, haloa, aryl, etc.; each R10 is independently H, alkyl, haloalkyl, etc.)

described herein, or pharmaceutically acceptable salts, solvates, polymorphs, ammonium ions, N-oxides or prodrugs thereof; which are leukotriene A4 hydrolase inhibitors and which are therefore useful in treating infilammatory disorders. Pharmaceutical compns. comprising the compds. of the invention and methods of using and preparing the compds.

the invention are also disclosed. Example compound II was prepared by reacting hexahydro-N-(4-phenoxyphenyl)-1H-1,4-diazepine-1-acetamide wi Me 4-isocyanatobenzoate. Compds. of the invention, when tested in the LTTA4 hydrolase homogeneous time resolved fluorescence assay, demonstrat the ability to inhibit LTA4 hydrolase activity at IC50 values of less

ANSWER 5 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) Benzoic acid, 3-methyl-4-[[1-2]-2-0x-2-((4-phenoxyphenyl)amino]ethyl]-4-piperidinyl]amino]- (CA INDEX NAME)

943789-31-9 CAPLUS
Benzolc acid, 2-methyl-4-[[1-[2-oxo-2-[(4-phenoxyphenyl)amino]ethyl]-4-pheridinyl]amino] (CA INDEX NAME)

L5 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
2006:101557 Document No. 144:171021 Preparation of piperazine and related
N-hydroxy succinic acid diamide derivatives as metalloproteinase
inhibitors with therapeutic uses. Swinnen, Dominique; Bombrun, Agnes;
Gonzalez, Jerome; Crosignani, Stefano; Gerber, Patrick; Jorand-Lebrun,
Catherine (Applied Research Systems Ars Holding N.V., Neth. Antilles).
FCT Int. Appl. W0 2006010751 Al 20060202, 203 pp. DESIGNATED STATES: W:
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO,
CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, FM, KP, KR, KZ, LC, LK, LK, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, CM, FG, PH, FL,
PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, EW, AT, BE, BF, BJ, CF, CG, CH, CL
CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE,
NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: W0
2004-591111P
20040726; EP 2005-100641 20050131; US 2005-648924P 20050201.

The present invention is related to piperazine and related N-hydroxy succinic acid diamide derive. (shown as I; variables defined below; e.g. (2S,3S)-h-hydroxy-2-hydroxy-5-methyl-3-[4-(2-pyridinyl)-1-piperazinyl] carbonyl] hexanamide (shown as II) and use thereof, in particular for the treatment and/or prophylaxis of autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, cardiovascular diseases, neurodegenerative diseases, cardiovascular diseases, and including multiple sclerosis, arthritis, emphysema, chronic obstructive pulmonary disease, liver and pulmonary fibrosis. A = <math>-C(B)- and N; B is H or B forms a bond with either R5 or R7; R' = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C8-cycloalkyl, heterocycloalkyl, aryl, heteroaryl, C3-C8-cycloalkyl, and alkoxy; R2 = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl,

ANSWER 6 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (CC 874647-07-1 CAPLUS 1-Piperidinebutanamide, β -[3-(4-ethoxyphenyl)propyl]-N, α -dihydroxy-4-[(3-methoxyphenyl)amino]- γ -oxo-, (α S, β R)-(CA INDEX NAME)

Absolute stereochemistry

1-Piperidinebutanamide, 4-[[3-(dimethylamino)phenyl]amino]- β -[3-(4-ethoxyphenyl)propyl]-N, α -dihydroxy- γ -oxo-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

874647-13-9 CAPLUS

1-Piperidinebutanamide, β -[3-(4-ethoxyphenyl)propyl]-N, α -dihydroxy-4-[(4-hydroxyphenyl)amino]-y-oxo-, (α S, β R)-(CA INDEX NAME)

Absolute stereochemistry

ANSWER 6 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) C3-C8-cycloalkyl, heterocycloalkyl, alkoxy, aryl and heteroaryl; R3 = H, C1-C6 alkyl, C2-C6 alkenyl and C2-C6 alkynyl; R4, R5, R6 and R7 = H,

alkyl, C2-C6 alkenyl, C2-C6 alkynyl; or R4 and R7 form together a -CH2-linkage; n is an integer = 1, 2, 3, 4, 5 and 6; Carbons (2) and (3) are two chiral centers, wherein chiral center (2) has a configuration = S and R and wherein chiral center (3) has a S configuration as well as pharmaceutically acceptable salts thereof. Methods of prepn. are claimed and prepns. and/or characterization data for .apprx.90 examples of I are included. For example, II was prepd. from a 55/45 mixt. of (2S)- and (2E)-pentafluorophenyl 2-((4S)-2,2-dimethyl)-5-oxo-(1,3-dioxolan-4-yl)-4-methylpentanoate (prepn. by partial diastereoisomerization of latter isomer) by 1st creating an amide linkage using 1-(2-pyridyl)piperazine

%) and then a 2nd amide linkage using hydroxylamine (31 %). IC50 values for inhibition of MMP-1, MMP-2, MMP-9 and MMP-12 by 16 examples of I are tabulated. Also, percentage of inhibition of II-2-induced peritoneal recruitment of lymphocytes (model for cellular migration that occurs during inflammation) by 8 examples of I are tabulated. 874646-81-8P, (28, 78)-3-[(4-Anilinopiperidin-1-yl)carbonyl]-6-(4-ethoxyphenyl)-N-hydroxy-2-hydroxy-3-[[4-[(3-methoxyphenyl)aminopiperidin-1-yl)carbonyl-3-[[4-[(3-methoxyphenyl)aminopiperidin-1-yl]carbonyl]hexanamide 874647-09-3P, (28, 3R)-3-[[4-[[3-

(Dimethylamino)phenyl]amino]piperidin-1-yl]carbonyl]-6-(4-ethoxyphenyl)-N-hydroxy-2-hydroxyhexanamide 874647-13-9F, (2S,3R)-6-(4-Bthoxyphenyl)-N-hydroxy-2-hydroxy-3-[[4-[(4-hydroxyphenyl)amino]piperidin-1-yl]carbonyl]hexanamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazine and related N-hydroxy succinic

acid diamide derivs. as metalloproteinase inhibitors with therapeutic

uses) 874646-81-8 CAPLUS 1-Piperidinebutanamide, β -[3-(4-ethoxyphenyl)propyl]-N, α -dihydroxy- γ -oxo-4-(phenylamino)-, (α S, β R)- (CA INDEX

Absolute stereochemistry.

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [n = 1 or 2; m = 0-3; Z = CH, CH2, N, or 0; X = (un)substituted alkynyl, alkyl, etc.; R1 and R2 independently = H, alkyl, alkylcarbonyl, heterocycle, etc.; or R1 and R2 taken together form a (un)substituted heterocycle, R3 independently = H or alkoxyl, the N-oxide forms and the pharmaceutically acceptable addition salts, are prepared and

disclosed as suitable for treatment of neurodegenerative disorders. Thus,

e.g., II was prepared by deprotection of III (preparation given)

followed by reaction with 1,4-dichloro-2-butyne. Neuron viability assays were conducted using calcein-AM, e.g., II was determined to possess a pIC50

e from 6-8. Pharmaceutical formulations are claimed. 874536-05-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of dimeric compds. of piperidine, piperazine or morpholine or
their 7-membered analogs suitable for treatment of neurodegenerative disorders)
RN 874536-05-7 CAPLUS
CN Benzeneacetamide, N,N'-[(1,6-dioxo-1,6-hexanediyl)di-1,4-piperidinediyl]bis[N-(4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A

Me
$$CH_2$$
 CH_2 CH_2

PAGE 1-B

ANSWER 8 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

862197-73-7 CAPLUS Benzolc acid, 2-[[1-[2-[(4-cyclohexylphenyl)amino]-2-oxoethyl]-4-piperidinyl]amino] (CA INDEX NAME)

862197-75-9 CAPLUS
1-Piperidineacetamide, 4-[(2-formylphenyl)amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

RN

862197-77-1 CAPLUS Benzoic acid, 1-[2-[[4-(hydroxyphenylmethyl)phenyl]amino]-2-oxoethyl]-4-piperidinyl]amino]- (CA INDEX NAME)

862197-81-7 CAPLUS 1-Piperidineacetamide, N-9H-carbazol-3-yl-4-[(2-formylphenyl)amino]- (CA INDEX NAME)

L5 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
2005:636147 Document No. 143:205792 A preliminary study of the metabolic stability of a series of benzoxazinone derivatives as potent neuropeptide Y5 antagonists. Dordal, Alberto; Lipkin, Mike; Macritchie, Jackie, Mas, Josep; Port, Adriana; Rose, Sally, Salqado, Leonardo; Savic, Vladimir; Schmidt, Wolfgang; Serafini, Maria Teresa; Spearing, William; Torrens, Antoni; Yeste, Sandra (BioFocus Discovery Limited, Saffron Walden, CB10 1XL, UK). Bioorganic & Medicinal Chemistry Letters, 15(16), 3679-3684 (English) 2005. CODEN: BMCLE8. ISSN: 0960-994X. Publisher: Elsevier B.V..

AB The metabolic stability of benzoxazinone derivs., a potent series of NPY Y5 antagonists, has been investigated. This study resulted in the identification of the structural moleties prone to metabolic transformations and which strongly influenced the in vitro half-life. This provides opportunities to optimize the structure of this new class of

NPY Y5 antagonists.
845553-61-9 845554-07-6 862197-71-5
862197-73-7 862197-775-9 862197-77-1
862197-81-7 862197-84-0 862197-86-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metabolic stability of a series of benzoxazinone derivs. as potent
neuropeptide Y5 antagonists)
845553-61-9 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-[4(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

RN

сно-он

845554-07-6 CAPLUS 1-Fiperidineacetamide, N-(9-ethyl-9H-carbazol-3-y1)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

862197-71-5 CAPLUS

1-Piperidineacetamide, N-(4-cyclohexylphenyl)-4-[(2-formylphenyl)amino]-(CA INDEX NAME)

ANSWER 8 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

862197-84-0 CAPLUS 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[(2-formylphenyl)amino]- (CA INDEX NAME)

862197-86-2 CAPLUS Benzolc acid, 2-[[1-[2-[(9-ethyl-9H-carbazol-3-yl)amino]-2-oxoethyl]-4-piperidinyl]amino] (CA INDEX NAME)

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
2005;300:405 Document No. 142;373687 Preparation of
N-substituted-N-(4-piperidinyl) amide derivatives as analgesics.
Takahashi, Toshiniro; Endo, Tsuyoshi; Sakuma, Syogo; Mochiduki, Nobutaka;
Yamakawa, Tomio; Shika, Kiichi; Kawasaki, Toru; Imai, Toshiyasu; Hirate,
Kenji (Nippon Chemiphar Co., Ltd., Japan). FCT Int. Appl. W0 2005030722
A1 20050407, 112 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ,
BA, BB, BG, BR, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ,
EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX,
MZ, NA, NI, NO, NZ, CM, PG, PH, PL, PT, BO, RH, SC, SD, SE, SG, SK, SL,
SY, TU, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, AZ, MZ, ZW, EW;
AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR,
IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (Japanese).
CODEN: PIXXID: APPLICATION: WO 2004—PP14562 20040928. FRIGRITY: JP
2003—337480 20030929.

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ R^3 & & & \\ R^1 - C - N & & & \\ R^2 & & & \\ \end{array} \qquad \begin{array}{c} & & & \\ N & & \\ N & & \\ R^4 & & \\ R^5 & & \\ \end{array} \qquad \begin{array}{c} & & \\ R^6 & & \\ \end{array}$$

(4-Acylamino-1-piperidinyl) alkanamide derivs. (I) [R1 = C1-6 alkyl, 3- to 7-membered cycloalkyl, C1-6 alkoxy-C1-6 alkyl, 5- or 6-membered heterocyclyl; R2 = each (un)substituted Ph or 5- or 6-membered heterocyclyl; R3 = H, Ph, C2-8 alkoxycarbonyl, C1-6 alkoxy, Me; R4 = (un)substituted Ph; R5 = H, C1-6 alkyl, C1-6 alkyl-C6-10 aryl; R6 = H, C1-6 alkyl, Ph, 5- or 6-membered heterocyclyl, C1-6 alkyl-C6-10 aryl, R6 = H, C1-6 alkyl-C6-10 aryl; R6 = H, C1-6 alkyl-C6-10 aryl; R6 = H, C1-6 alkyl-C6-10 aryl, R6 = H, C1-6 alkyl-C6-AB

alkyl, heterocyclyl or heterocyclyl-Cl-6 alkyl is optionally substituted; R7 =

Me; m = 1,2] or salts thereof are prepared Also disclosed is an analgesic

containing the compds. I or a salt thereof as an active constituent.

These

containing the compds. I or a salt thereof as an active constituent. e compds. possess excellent affinity to opioid µ receptor and some of them are selective agonists of peripheral opioid µ receptor without central nervous system side effects such as dependency, bradycardia, respiratory suppression, or suppression of digestive tract movement. Thus, 3-[4-methoxycarbonyl-4-(N-phenylpropionylamino)piperidin-1-yl]-2-phenylpropionic acid was amidated with methylamine using 1-hydroxybenzotriazole hydrate and 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride in CH2C12 to give 1-(2-methylcarbamoyl-2-phenylethyl)-4-(N-phenylpropionylamino)piperidin-4-carboxylic acid Me ester (II) which was converted into the oxalic acid salt. II oxalate inhibited the binding of [3H]DAMGO to human opioid µ receptor with IC50 of 8 nM. 849474-02-8P 849474-04-0P 849474-06-2P

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CRN 849474-02-8 CMF C35 H40 N4 O4

2 CM

849474-06-2 CAPLUS

4-Piperidinecarboxylic acid, 1-(3-amino-3-oxo-2-phenylpropyl)-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-08-4 CAPLUS
4-Piperidinecarboxylic acid, 1-(3-amino-3-oxo-2-phenylpropyl)-4-[(1-oxpropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX

CM 1

CRN 849474-06-2 CMF C25 H31 N3 O4

ANSMER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
849474-08-4P 849474-19-5P 849474-11-8P
849474-11-9P 849474-12-0P 849474-13-1P
849474-11-7P 849474-15-3P 849474-15-1P
849474-17-7P 849474-18-6P 849474-19-7P
849474-20-0P 849474-21-1P 849474-22-2P
849474-23-3P 849474-21-1P 849474-22-5P
849474-23-3P 849474-23-4P 849474-23-5P
849474-23-3P 849474-30-2P 849474-31-3P
849474-32-4P 849474-33-5P 849474-31-3P
849474-32-4P 849474-33-5P 849474-31-3P
849474-32-4P 849474-33-5P 849474-31-3P
849474-43-3P 849474-33-5P 849474-31-7P
849474-44-8P 849474-39-1P 849474-43-7P
849474-47-1P 849474-88-2P 849474-31-7P
849474-47-1P 849474-88-2P 849474-31-P
849474-51-3P 849474-51-7P 849474-51-7P
849474-51-3P 849474-51-7P 849474-51-9P
849474-62-2P 849474-63-0P 849474-61-9P
849474-62-0P 849474-63-1P 849474-62-P
849474-63-3P 849474-63-P 849474-62-P
849474-63-3P 849474-63-P 849474-65-P
849474-63-3P 849474-63-P 849474-65-P
849474-63-P 849474-66-Q 849474-67-5P
849474-63-P 849474-66-Q 849474-67-5P
849474-63-P 849474-66-Q 849474-67-5P
849474-63-P 849474-66-Q 849474-67-5P
849474-81-3P 849474-83-SP 849474-69-2P
849474-81-3P 849474-83-SP 849474-69-2P
849474-81-3P 849474-83-SP 849474-69-2P
849474-81-3P 849474-83-SP 849474-69-2P
849474-85-PB 849474-83-SP 849474-69-2P
849474-85-PB 849474-83-SP 849474-69-2P
849474-81-3P 849474-83-SP 849474-93-P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USES)

(prepn. of N-substituted-N-(4-piperidinyl) amide derivs. as opioid uprepn. or N-substituted-N-(4-piperidinyl) amide derivs. as opioic receptor agonists and analgesics)

RN 849474-02-8 CAPLUS

CN 4-Piperidinecarboxylic acid,
1[3-[[2-(1H-indol-3-yl)ethyl]amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME) (prepn. of N-substituted-N-(4-piperidinyl) amide derivs. as opioid μ

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ &$$

849474-04-0 CAPLUS

ow oursus-us CAPLUS
CM 4-Piperidinecarboxylic acid,
1-[3-[[2-(1H-indol-3-y1)ethyl]amino]-3-oxo-2phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate
(1:1) (CA INDEX NAME)

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

849474-09-5 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-(methylamino)-3-oxo-2-phenylpropyl]-4[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-10-8 CAPLUS
4-Fiperidinecarboxylic acid, 1-[3-(methylamino)-3-oxo-2-phenylpropyl]-4(11-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX

CM 1

CRN 849474-09-5 CMF C26 H33 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

RN 849474-11-9 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-(dimethylamino)-3-oxo-2-phenylpropyl]-4[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

RN 849474-12-0 CAPLUS
CN 4-Piperidinecarboxylic acid,
1[3-(dimethylamino]-3-oxo-2-phenylpropyl]-4[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-11-9 CMF C27 H35 N3 O4

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

но-с-с-он

RN 849474-15-3 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-(ethylmethylamino)-3-oxo-2-phenylpropyl]4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

RN 849474-16-4 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-(eth)methylamino)-3-oxo-2-phenylpropyl]4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA

INDEX

NAME)

CM 1

CRN 849474-15-3 CMF C28 H37 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-13-1 CAPLUS
4-Piperidinecarboxylic acid, 1-[4-(dimethylamino)-4-oxo-3-phenylbuty1]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-14-2 CAPLUS
4-Fiperidinecarboxylic acid, 1-[4-(dimethylamino)-4-oxo-3-phenylbutyl]-4[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX

CM 1

CRN 849474-13-1 CMF C28 H37 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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849474-17-5 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-(phenylamino)propyl]-4[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-18-6 CAPLUS
4-Fiperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-(phenylamino)propyl]-4[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-17-5 CMF C31 H35 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-19-7 CAPLUS
4-Fiperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[(phenylmethyl)amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester
(CA INDEX NAME)

849474-20-0 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[phenylmethyl)amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-19-7 CMF C32 H37 N3 O4

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

NH-CH2-CH2-Ph

но-с-с-он

RN 849474-23-3 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[methyl(2-phenylethyl)amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-24-4 CAPLUS 4-Piperidineoarboxylic acid, 1-[3-[methyl(2-phenylethyl)amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-23-3 CMF C34 H41 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

NH-CH2-Ph

CM

CRN 144-62-7 CMF C2 H2 O4

0 0

849474-21-1 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[(2-phenylethyl)amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester

INDEX NAME)

849474-22-2 CAPLUS
4-Piperidineoarboxylic acid, 1-[3-oxo-2-phenyl-3-[(2-phenylethyl)amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CRN 849474-21-1 CMF C33 H39 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CH2-CH2-Ph

0 || || -C-С-ОН

RN 849474-25-5 CAPLUS
CN 4-Piperidinecarboxylic acid,
4-[(2-furanylcarbonyl)phenylamino]-1-[3-oxo-2-phenyl-3-[(2-phenylethyl)amino]propyl]-, methyl ester (CA INDEX NAME)

RN 849474-26-6 CAPLUS
CN 4-Piperidimecarboxylic acid,
4-[(2-furay)carbonyl)phenylamino]-1-[3-oxo-2phenyl-3-[(2-phenylethyl)amino]propyl]-, methyl ester, ethanedicate
(1:1)

(CA INDEX NAME)

CM 1

CRN 849474-25-5 CMF C35 H37 N3 O5

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

 $849474-27-7 \quad CAPLUS \\ 1-Piperidine propanamide, \quad 4-(methoxymethyl)-N-methyl-4-[(1-cxopropyl)phenylamino]-\alpha-phenyl-N-(2-phenylethyl)- \qquad (CA INDEX NAME)$

$$\begin{array}{c|c} & \text{Ph} & \text{O Me} \\ & \text{Ph} & \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{Ph} \\ & \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{Ph} \\ & \text{Et-C-N} \\ & \text{O Ph} \end{array}$$

849474-28-8 CAPLUS

8494/4-28-8 CAPUS
1-Piperidinepropanamide, 4-(methoxymethyl)-N-methyl-4-[(1-oxopropyl)phenylamino]-a-phenyl-N-(2-phenylethyl)-, ethanedioate
(1:1) (CA INDEX NAME)

CM 1

CRN 849474-27-7 CMF C34 H43 N3 O3

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 849474-31-3 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[methyl(3-phenylpropyl)amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-32-4 CAPLUS 4-Piperidineoarboxylic acid, 1-[3-[methyl(3-phenylpropyl)amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-31-3 CMF C35 H43 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

849474-29-9 CAPLUS 1-Piperidinepropanamide, N-methyl-4-[(1-oxopropyl)phenylamino]- α , 4-diphenyl-N-(2-phenylethyl)- (CA INDEX NAME)

849474-30-2 CAPLUS

AFBUS AFBUS AFBUS DEPLOYED AFBUS AFB

CM 1

CRN 849474-29-9 CMF C38 H43 N3 O2

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 849474-33-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2-hydroxyethyl)methylamino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-34-6 CAPLUS
4-Fiperidinecarboxylic acid, 1-[3-[(2-hydroxyethyl)methylamino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 849474-33-5 CMF C28 H37 N3 O5

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Рh О Ме | || | .Сн₂—Сн—С—№—Сн₂—Сн₂—Он

CM 2 CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

RN 849474-35-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(4-amino-4-oxobutyl)amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-36-8 CAPLUS 4-Piperidinecarboxylic acid, 1-[3-[(4-amino-4-oxobutyl)amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-35-7 CMF C29 H38 N4 O5

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

но-с-с-он

849474-39-1 CAPLUS

NN 8494/4-39-1 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-oxo-2-phenyl-3-(1-piperidinyl)propyl]-4[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

O Ph || | Et-C-**

RN 849474-40-4 CAPLUS
CN 4-Piperidinecarboxylic acid,
1[3-oxo-2-phenyl-3-(1-piperidinyl)propyl]-4[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-39-1 CMF C30 H39 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

О || - NH- (СН₂)3- С- NH₂

CM 2

CRN 144-62-7 CMF C2 H2 O4

по-с-он

RN 849474-37-9 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-(4-morpholinyl)-3-oxo-2-phenylpropyl]-4[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

RN 849474-38-0 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-(4-morpholiny1)-3-oxo-2-phenylpropy1]-4[(1-oxopropy1)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-37-9 CMF C29 H37 N3 O5

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CRN 144-62-7

RN 849474-41-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-(4-acetyl-1-piperazinyl)-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-42-6 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-(4-acetyl-1-piperazinyl)-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-41-5 CMF C31 H40 N4 O5

CRN 144-62-7 CMF C2 H2 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 849474-43-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX

NAME)

849474-44-8 CAPLUS
4-Fiperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 849474-43-7 CMF C36 H44 N4 O4

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

CM

CRN 144-62-7 CMF C2 H2 O4

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

849474-47-1 CAPLUS
D-Glucitol, 1-deoxy-1-[[3-[4-(methoxycarbonyl)-4-[(1-oxopropyl)phenylamino]-1-piperidinyl]-1-oxo-2-phenylpropyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849474-48-2 CAPLUS
CN 4-Piperidinecarboxylic acid,
1[3-[[2-(4-hydroxyphenyl)ethyl]amino]-3-oxo2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

RN 849474-49-3 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(4-hydroxyphenyl)]ethyl]amino]-3-oxo2-phenylpropyl]-4-[[1-oxpropyl)phenylamino]-, methyl ester, ethanedioate
(1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

849474-45-9 CAPLUS
D-Glucitol, 1-deoxy-1-[[3-[4-(methoxycarbonyl)-4-[(1-oxopropyl)phenylamino]-1-piperidinyl]-1-oxo-2-phenylpropyl]methylamino]-(CA INDEX NAME)

Absolute stereochemistry

849474-46-0 CAPLUS
D-Glucitol, 1-deoxy-1-[[3-[4-(methoxycarbonyl)-4-[(1-coxpropyl)phenylanino]-1-piperidinyl]-1-oxo-2-phenylpropyl]methylamino]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 849474-45-9 CMF C32 H45 N3 O9

Absolute stereochemistry.

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CRN 849474-48-2 CMF C33 H39 N3 O5

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ \text{MeO-C} & & & & \\ & & & & \\ \text{Et-C-N} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ \end{array}$$

CM

CRN 144-62-7 CMF C2 H2 O4

RN 849474-50-6 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[[2-(2-pyridinyl)ethyl]amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester

(CA INDEX NAME)

RN 849474-51-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[[2-(2-pyridinyl)ethyl]amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester,
ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-50-6 CMF C32 H38 N4 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c|c} & \text{Ph} & \text{O} \\ & \text{N} & \text{CH}_2 - \text{CH} - \text{C} - \text{NH} - \text{CH}_2 - \text{CH}_2 \\ & \text{MeO} - \text{C} \\ & \text{Et} - \text{C} - \text{N} \\ & \text{O} & \text{Ph} \\ \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-52-8 CAPLUS
4-Fiperidineoarboxylic acid, 1-[3-oxo-2-phenyl-3-[[2-(2-thienyl)ethyl]amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{Ph} & & \\ & & & \\ & & & \\ \text{MeO-C} & & \\ & & \\ \text{Et-C-N} & & \\ & & & \\ & & & \\ \end{array}$$

849474-53-9 CAPLUS

8494/4-03-9 (APUS
4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[[2-(2-thienyl)ethyl]amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanediotae (1:1) (CA INDEX NAME)

CM 1

CRN 849474-52-8 CMF C31 H37 N3 O4 S

(Continued)

$$\begin{array}{c|c} & \text{Ph} & \text{O} \\ & \text{N} & \text{CH}_2 - \text{CH} - \text{C} - \text{NH} - \text{CH}_2 - \text{CH}_2 \\ & \text{MeO} - \text{C} \\ & \text{Et} - \text{C} - \text{N} \\ & \text{O} & \text{Ph} \\ \end{array}$$

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

но-с-с-он

RN 849474-56-2 CAPLUS
CN 4-Piperidinecarboxylic acid,
13-[[2-(18+indiazol-5-y1)ethyl]amino]-3-oxo2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX

RN 849474-57-3 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(1H-inidazo1-4-y1)ethyl]amino]-3-oxo2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate
([1:1) [9C1] (CA INDEX NAME)

CM 1

CRN 849474-56-2 CMF C30 H37 N5 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ \text{MeO-C} & & \\ & & & \\ \text{Et-C-N} & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-54-0 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-oxo-2-phenyl-3-[[2-(1H-pyrrol-1-yl)ethyl]amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

849474-55-1 CAPLUS
4-Piperidineoarboxylic acid, 1-[3-oxo-2-phenyl-3-[[2-(1H-pyrrol-1-yl)ethyl]amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-54-0 CMF C31 H38 N4 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

RN 849474-58-4 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(2-naphthalenyl)ethyl]amino]-3-oxo-2phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

RN 849474-59-5 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(2-naphthalenyl)ethyl]amino]-3-oxo-2phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate
(1:1) (CA INDEX NAME)

CM 1

CRN 849474-58-4 CMF C37 H41 N3 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

2

CRN 144-62-7 CMF C2 H2 O4

HO-C-C-OH

RN 849474-60-8 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(1H-indol-2-yl)ethyl]amino]-3-oxo-2phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

849474-61-9 CAPLUS

NN 8494/4-61-9 CAPLOS
CM 4-Piperidinecarboxylic acid,
1-[3-[[2-(1H-indol-2-y1)ethyl]amino]-3-oxo-2plenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate
(1:1) (CA INDEX NAME)

CM 1

CRN 849474-60-8 CMF C35 H40 N4 O4

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

но-с-с-он

849474-64-2 CAPLUS 1-Piperidinepropanamide, N-[2-(1H-indol-3-y1)ethy1]-4-(methoxymethy1)-4-[(1-oxopropy1)phenylamino]- α -phenyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} & \text{O} \\ \text{N} & \text{C} \\ \text{E} \\ \text{C} \\ \text{H} \\ \text{C} \\ \text{O} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{E} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{D} \\ \text{C} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{D} \\ \text{C} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{D} \\ \text{C} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{M} \\ \text{C} \\ \text$$

849474-65-3 CAPLUS 1-Fiperidinepropanamide, N-[2-(1H-indol-3-yl)ethyl]-4-(methoxymethyl)-4-(1-cxopropyl)phenylamino]- α -phenyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-64-2 CMF C35 H42 N4 O3

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c|c} & & & \text{Ph} & \\ & & &$$

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-62-0 CAPLUS
4-Piperidinecarboxylic acid, 4-[(2-furanylcarbonyl)phenylamino]-1-[3-[[2-(1H-indol-3-y1)ethyl]amino]-3-oxo-2-phenylpropyl]-, methyl ester (CA INDEX NAME)

849474-63-1 CAPLUS

849474-63-1 CAPLUS
4-Piperidinecarboxylic acid, 4-[(2-furanylcarbonyl)phenylamino]-1-[3-[[2-(1H-indol-3-y1)ethyl]amino]-3-oxo-2-phenylpropyl]-, methyl ester, ethanedioate (1:1) (CA INDEX NAME)

CRN 849474-62-0 CMF C37 H38 N4 O5

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN CRN 144-62-7 CMF C2 H2 O4 (Continued)

849474-66-4 CAPLUS 1-Piperidinepropanamide, N-[2-(1H-indol-3-y1)ethyl]-4-[(1-oxopropyl)phenylamino]- α ,4-diphenyl- (CA INDEX NAME)

$$\begin{array}{c|c} H & \circ & Ph \\ N & & \parallel & \parallel \\ CH_2-CH_2-NH-C-CH-CH_2-N & & \parallel \\ \end{array}$$

849474-67-5 CAPLUS
1-Fiperidinepropanamide, N-[2-(1H-indol-3-y1)ethyl]-4-[(1-oxopropyl)phenylamino]-a,4-diphenyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-66-4 CMF C39 H42 N4 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 849474-68-6 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[2-(1H-indol-3-yl)ethyl]methylamino]-3oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA
INDEX
NAME)

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 849474-69-7 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[(2-(1H-indol-3-y1) ethyl]methylamino]-3oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester,
ethanedioate (1:1) (CA INDEX NAME)

CRN 849474-68-6 CMF C36 H42 N4 O4

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-70-0 CAPLUS 8494/4-70-0 CAPLOS 1-Piperidinepropanamide, N-[2-(1H-indol-3-yl)ethyl]-3-methyl-4-[(1-oxopropyl)phenylamino]- α -phenyl-, (3R,4\$)-rel- (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 1

CRN 849474-73-3 CMF C34 H40 N4 O2

Relative stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-75-5 CAPLUS 1-Fiperidine propanamide, N-[2-(1H-indol-3-y1)ethyl]-3-methyl-4-[(1-oxopropyl)phenylamino]- α -phenyl-, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

849474-77-7 CAPLUS 1-Piperidinepropanamide, N-[2-(1H-indol-3-y1)ethy1]-3-methy1-4-[(1-oxopropy1)phenylamino]- α -pheny1-, ethanedioate (1:1), (α R, 3S, 4S)-rel- (CA INDEX NAME)

CM 1

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

849474-72-2 CAPLUS 1-Fiperidinepropanamide, N-[2-(1H-indol-3-y1)ethy1]-3-methy1-4-[(1-cxopropy1)phenylamino]- α -phenyl-, ethanedioate (1:1), (α R, 3R, 48)-rel- (CA INDEX NAME)

CRN 849474-71-1 CMF C34 H40 N4 O2

Relative stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-74-4 CAPLUS 1-Fiperidinepropanamide, N-[2-(1H-indol-3-y1)ethyl]-3-methyl-4-[(1-oxoproyl)phenylamino]- α -phenyl-, ethanedioate (1:1), (α R, 3S, 4R)-rel- (CA INDEX NAME)

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) CRN 849474-76-6 CMF C34 H40 N4 O2

Relative stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

849474-79-9 CAPLUS

ous4/4-/3-9 CAPLUS 1-Piperidinepropanamide, N-[2-(1H-indol-3-y1)ethyl]-3-methyl-4-[(1-oxpropyl)phenylamino]- α -phenyl-, ethanedioate (1:1), (α R, 3R, 4R)-rel- (CA INDEX NAME)

CM 1

CRN 849474-78-8 CMF C34 H40 N4 O2

Relative stereochemistry.

CRN 144-62-7

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN CMF C2 H2 O4 (Continued)

RN 849474-80-2 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-oxo-2-phenyl-3-[[2-[4-(phenylmethoxy)-1Hindol-3-yl]ethyl]amino]propyl]-4-[(1-oxopropyl)phenylamino]-, methyl
ester
(CA_TURPEY_NAME)

(CA INDEX NAME)

RN 849474-81-3 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-oxo-2-phenyl-3-[[2-[4-(phenylmethoxy)-1Hindol-3-y]]ethyl]amino[propyl]-4-[(1-oxopropyl)phenylamino]-, methyl
ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-80-2 CMF C42 H46 N4 O5

CM 2

CRN 144-62-7 CMF C2 H2 O4

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

849474-85-7 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-[[2-(5-hydroxy-1H-indol-3-y1)ethyl]amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 849474-84-6 CMF C35 H40 N4 O5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 849474-86-8 CAPLUS
CN 4-Piperidinecarboxylic acid,
1[3-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

RN 849474-87-9 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester,
ethanedioate (1:1) (CA INDEX NAME)

CRN 849474-86-8 CMF C36 H42 N4 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

849474-83-5 CAPLUS

4-Piperidinecarboxylic acid, 1-[3-[[2-(4-hydroxy-1H-indol-3-y1)ethyl]amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) [salt] (9CI) (CA INDEX NAME)

(Continued)

CM 1

CRN 849474-82-4 CMF C35 H40 N4 O5

$$\begin{array}{c} \text{Ph} \\ \text{N} \\ \text{N} \\ \text{CH}_2-\text{CH}_2-\text{NH}-\text{C}-\text{CH}-\text{CH}_2-\text{N} \\ \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

849474-84-6 CAPLUS
4-Piperidineoarboxylic acid, 1-[3-[[2-(5-hydroxy-lH-indol-3-yl)ethyl]amino]-3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{NH} - \text{C} - \text{CH} - \text{CH}_2 - \text{N} \\ \end{array}$$

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{tabular}{c|cccc} Me & & & Ph & \\ & & & & & \\ N-C-Et & & \\ N-C-Et & & \\ CH_2-CH_2-NH-C-CH-CH_2-N & & \\ \hline \end{tabular}$$

RN 849474-88-0 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(5-chloro-lH-indol-3-yl)ethyl]amino]3-oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 849474-89-1 CAPLUS
CN 4-Piperidinecarboxylic acid,
[3-[[2-(5-chloro-1H-indol-3-yl)ethyl]amino]3-0xo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester,
ethanedioate (1:1) (CA INDEX NAME)

CRN 849474-88-0 CMF C35 H39 C1 N4 O4

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 849474-90-4 CAPLUS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(1H-benzimidazol-2-yl)ethyl]amino]-3oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX

NAME)

849474-91-5 CAPLUS

RN 43444-91-0 CAPLOS
CN 4-Piperidinecarboxylic acid,
1-[3-[[2-(1H-benzimidazo1-2-y1)ethyl]amino]-3oxo-2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester,
ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 849474-90-4 CMF C34 H39 N5 O4

CM 2

ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

CRN 144-62-7 CMF C2 H2 O4

849474-92-6 CADLIES

RN 849474-2-0 CAPLOS
CN 4-Piperidinecarboxylic acid,
1-[3-[(2-benzo[b]thien-3-ylethyl)amino]-3-oxo2-phenylpropyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (CA INDEX NAME)

(Continued)

849474-93-7 CAPLUS

RN 8494/4-93-7 CAPLOS
CN 4-Piperidinecarboxylic acid,
1-[3-[(2-benzo[b]thien-3-ylethyl)amino]-3-oxo2-penylpropyl]-d-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate
(1:1) (CA INDEX NAME)

CM 1

CRN 849474-92-6 CMF C35 H39 N3 O4 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

L5 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2005:283204 Document No. 142:355173 Preparation of 6-aminoindole and 7-amino-1,2,3,4-tetrahydroquinoline derivatives as non-peptidic neuropeptide Y (NPY) Y2 receptor inhibitors. Carruthers, Nicholas I.; Chai, Wenying; Dax, Scott L.; Jablonowski, Jill A.; Li, Xiaobing; Lovenberg, Timothy W.; Murray, William V.; Rudolph, Dale A.; Seierstad, Mark; Youngman, Mark A. (Johnson & Johnson Pharmaceutical Research & Development, LLC, USA). U.S. Pat. Appl. Publ. US 20050070534 Al 20050331, 34 pp. (English). CODEN: USXXXCO. APPLICATION: US 2004-949055 2004092

34 pp. (English). CODEN: USXXCO. APPLICATION: US 2004-949055 20040924. PRIORITY: US 2003-505462P 20030924.

AB The title compds. (I) [wherein the fused pyrrolidine ring optionally contains a single carbon—carbon double bond or a single carbon ring member adjacent to the nitrogen is optionally; Os substituted, n = 1, 2; m = 0,1, 2; Y1 = each CO-5 alkylene, alkenylene, alkynylene, or acylene—CH(CONNERG)—, -CH(CO2C1-4 alkyl)—(where Rf, Rg = H or C1-4 alkyl); Y2 = R, Ph, C4-8 cycloalkyl, or C4-8 cycloalkeyl, wherein each ring optionally substituted; Y3 = -CH2—, carbonyl or sulfone; Y4 = (un)substituted C2-7 alkyn, C2-7 alkenyl, C2-7 alkynyl or C3-0 cycloalkyl; Y5 = each (un)substituted Ph, furanyl, thiophenyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, pyrazolyl, oxazolyl, thiazolyl, imidazolidinyl, pyrazolidinyl, oxazolyl, thiazolyl, pyrazolyl, pyrazolidinyl, pyrazolyl, pyrazolyl, pyrazolyl, pyrazolyl, pyridyl, pyriedidnyl, pyraindinyl, pyrazinyl, piperzainyl, naphthalenyl, quinolonyl, purinyl, indolyl, bensofuranyl; R1 = H CCRa, SC2Ra (where Ra = H, each (un)substituted C1-5 alkyl, C1-5 alkenyl, C1-5 alkynyl, or C1-5 acyl); R2, R3 = H, each (un)substituted C1-5 alkyl, C1-5 alkynyl, or C1-5 acyl); R2, R3 = H, each (un)substituted C1-5 alkyl, C1-5 alkenyl, c2-5 alkenyl, c3 attachment to form piperidine or pyrrolidine or azepinel and enantioners, diastereomers, hydrates, solvates and pharmaceutically acceptable salts, esters and amides thereof are prepared These compds. are novel non-peptidic NFY Y2 receptor inhibitors and useful in treating or preventing anxiolytic disorders or depression, injured mammalian nerve tissue, conditions responsive to treatment through administration of a neurotrophic factor, neurol. disorders, bone loss, substance related disorders, obesity, or an obesity-related disorder. They are also useful in modulating endocrine functions, particularly endocrine functions controlled by the pituitary and hypothalamic glands, and are therefore useful in the treatment or prevention of inovulation

ANSWER 10 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) infertility. Thus, to a solm. of 1-[6-(1-benzylpiperidin-4-ylamino)-2,3-dihydroindol-1-ylpithanone> (250 mg, 0.72 mmol) in CH2Cl2 (10 mL) was added cinnamoyl chloride (160 mg, 0.93 mmol) and triethylamine (TEA, 0.30 mL, 2.2 mmol). The mixt was stirred at 25° for 16 h to give, after purifn. by preparative TLC (PTLC, 20% EtOAc/CH2Cl2) to give 290 mg (85%)

trans-N-(1-acetyl-2,3-dihydro-1H-indol-6-yl)-N-(1-benzylpiperidin-4-yl)-3-phenylacrylamide (II). II and trans-N-(1-acetyl-2,3-dihydro-1H-indol-6-yl)-3-(3-cyanophenyl)-N-[1-(2-cyclopentylethyl)piperidin-4-yl]acrylamide in vitro inhibited the binding of [125I]PYT to KAN-Ts endogenously expressing Y2 receptor with 1650 4.0 and 0.1 MN, resp.

IT 848951-93-9P, trans-N-(1-Acetyl-2,3-dihydro-1H-indol-6-yl)-N-[1-(ethylcarbamoyl)(phenyl)methyl]piperidin-4-yl]-3-phenylacrylamide 848951-94-0P, trans-N-(1-Acetyl-2,3-dihydro-1H-indol-6-yl)-N-[1-(ethylcarbamoyl)(phenyl)methyl]piperidin-4-yl]-3-phenylacrylamide triffluoroacetate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USEs)

(Uses)
(preparation of 6-aminoindole and 7-amino-1,2,3,4-tetrahydroquinoline derivs. as non-peptidic neuropeptide Y (NPY) Y2 receptor inhibitors)
RN 848951-93-9 CAPIUS
CN 1-Piperidineacetamide,
4-[(1-acetyl-2,3-dihydro-1H-indol-6-yl)[(2E)-1-oxo-3-phenyl-2-propen-1-yl]amino]-N-ethyl-α-phenyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 848951-94-0 CAPLUS

NN 04091-94-0 CAFLOX
1-Piperidineacetamide,
4-[(1-acetyl-2,3-dihydro-1H-indol-6-yl)][(2E)-1-oxo-3-phenyl-2-propen-1-yl]amino]-N-ethyl-α-phenyl
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 848951-93-9 CMF C34 H38 N4 O3

Double bond geometry as shown.

L5 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2005:136598 Document No. 142:240323 Active substance combination comprising a compound with NFY receptor affinity and a compound with 5-HTG receptor affinity. Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras,

affinity. Torrens Joves, American, and Aurelio Castrillo Perez, Jose; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich (Laboratorios del Esteve S. A., Spain). PCT Int. Appl. WO 2005014045 A1 20050217, 427 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, EG, BR, BW,

BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NA, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TI, TM, TM, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZN, RN AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATIO WO 2004-EP8514 20040729. PRIORITY: ES 2003-1815 20030730. APPLICATION:

 \star structure diagram too large for display - available via offline print \star

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.; A = CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R10 = Alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl,

palkyl, etc.; NRIOR11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HTG receptor affinity (such as II [R1 = H, alkyl, FM, CH2PH; R2 = NRARS, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H,

(un)saturated (hetero)cycloalxy1, etc.; ks = H, alxy1; k4, kb = H, alxy1; or NR4RS = (un)saturated heterocycly1; h = (un)substituted (heterolary1; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament.

(Uses) (preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

RN 845525-12-4 CAPLUS
CN Benzoic acid, 2-[[1-[2-0x0-2-[(9-0x0-9H-fluoren-3-yl)amino]ethyl]-4-piperidinyl]amino]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)

L5 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

ANSWER 11 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

#C1

845525-13-5 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-phenyl- (CA INDEX NAME)

845525-14-6 CAPLUS

1-Piperidineacetamide, N-(2,3-dihydro-1-oxo-1H-inden-5-y1)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

сно-он

RN 845525-15-7 CAPLUS
CN 1-Piperidineacetamide,
N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

ANSWER 11 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

●2 HCl

845525-16-8 CAPLUS 1-Piperidineacetanide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-(9-oxo-9H-Fluoren-3-yl)- (CA INDEX NAME)

845525-17-9 CAPLUS

1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-(9-oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

845525-18-0 CAPLUS

NN 049329-10-0 Carbon Co. 1-Piperidineacetamide,
N-(9-hydroxy-9H-fluoren-3-y1)-4-[[2-(hydroxymethy1)-4-methylpheny1]amino]- (CA INDEX NAME)

845525-19-1 CAPLUS

L5 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
2005:136561 Document No. 142:240311 Preparation of N-carbazolyl
[(phenylamino)piperidinyl]acetamide derivatives as neuropeptide y5
ligands
for the treatment of obesity. Torrens Jover, Antoni; Mas Prio, Josep;
Dordal Zueras, Alberto; Fisas Escasany, Maria Angeles; Buschmann, Helmut
Heinrich (Laboratorios del Esteve S. A., Spain). PCT Int. Appl. WO
2005013990 Al 20050217, 48 pp. DESIGNATED STATES: W: AE, AG, AL, AM,
AT,

AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MA, NA, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, IM, TH, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, KW; AT, BE, BF, BJ, CF, GG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, ML, MR, NE, NE, TF, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-EP8517 20040729. PRIORITY: ES 2003-1813 20030730.

$$(\mathbb{R}^{70})_{p} \xrightarrow{\underset{\mathbb{R}^{5}}{\overset{H}{\underset{(\mathbb{C}\mathbb{R}^{6})_{n}}{\overset{H}{\underset{\mathbb{R}^{4}}{\overset{\mathbb{R}^{3}}{\underset{\mathbb{R}^{4}}{\overset{\mathbb{R}^{3}}{\underset{\mathbb{R}^{2}}{\overset{\mathbb{R}^{3}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\overset{\mathbb{R}^{3}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}}}{\underset{\mathbb{C}}}{\underset{\mathbb{C}^{1}}}{\underset{\mathbb{C}^{1}}{\underset{\mathbb{C}}}}}}}}}}}}}}}}}}}}}}}}}}}}}_{$$

Title compds. represented by the formula I [wherein m = 0-4; n = 0-3; p = 0-4; R1-R4 = independently H, halo, OR8, etc.; R5 = H, (cyclo)aliphatic radical; R6-R8 = independently H or prodrug-molety; and physiol. acceptable salts or solvates thereof] were prepared as neuropeptide Y5 (NPY5) ligands (no data). For example, I [R1 = OH, R2-R4 = H, R5 = Me, m = 1, n = p = 0) was given in a multi-step synthesis starting from the reaction of 3-amino-9-methyl-9H-carbazole with chloroacetyl chloride. Thus, the title compds are useful as NPY5 ligands in the treatment of obesity for humans or animals. 846462-86-OP, 2-[4 - (3-8) ydroxy-2-hydroxymethylphenylamino)piperidin-1-yl]-N-(9-methyl-9H-carbazol-3-yl)acetamide <math>844642-89-3P,

2-[4-(4-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-y1]-N-(9-methyl-9H-carbazol-3-y1)acetamide 844642-90-6P,

2-[4-(5-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-yl]-N-(9-methyl-9H-carbazol-3-yl)acetamide 844642-91-7P,

2-[4-(6-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-y1]-N-(9-methyl-9H-carbazol-3-y1)acetamide 844642-92-8P,
2-[4-(3-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-y1]-N-(9-ethyl-9H-carbazol-3-y1)acetamide 844642-93-9P,
2-[4-(4-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-y1]-N-(9-ethyl-9H-carbazol-3-y1)acetamide 844642-94-0P)
2-[4-(5-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-y1]-N-(9-ethyl-9H-carbazol-3-y1)acetamide 844642-94-0P)
2-[4-(6-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-y1]-N-(9-ethyl-9H-carbazol-3-y1)acetamide 844642-94-0P)
2-[4-(6-Hydroxy-2-hydroxymethylphenylamino)piperidin-1-y1]-N-(9-ethyl-9H-carbazol-3-y1)acetamide

L5 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN CN 1-Piperidineacetamide, N-(9-hydroxy-9H-fluoren-3-yl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]- (CA INDEX NAME)(Continued)

ANSWER 12 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU
(Therapeutic use); BIOL (Beloogical study); PREP (Preparation); USES
(Uses)
(prepn. of N-carbazoly1 [(phenylamino)piperidiny1]acetamide derivs. as
neuropeptide Y5 ligands for treatment of obesity)
844642-86-0 CAPLUS
1-Piperidineacetamide, 4-[[3-hydroxy-2-(hydroxymethy1)pheny1]amino]-N-(9methy1-9H-carbazol-3-y1)- (CA INDEX NAME)

844642-89-3 CAPLUS

Heroidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

844642-90-6 CAPLUS

1-Piperidineacetamide, 4-[[5-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

844642-91-7 CAPLUS
1-Piperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

(Continued)

844642-92-8 CAPLUS

1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME) (hydroxymethyl)phenyl]amino]-

844642-93-9 CAPLUS

844642-94-0 CAPLUS

044042-74-0 CARDUS - 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[5-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

844642-95-1 CAPLUS

1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2005:136559 Document No. 142:240321 Preparation of (phenylamino)piperidinylacetamides and related compounds as neuropeptide Y5 (NPY5) ligands for the treatment of obesity.. Torrens Jover, Antoni; Mas Prio, Josep; Fisas Escasany, Maria Angeles (Laboratorios del Esteve S.A., Spain). PCT Int. Appl. MO 2005013988 AI 20050217, 149 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY,

BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HE, HU, ID, II, IN, IS, JP, KE, KG, KP, KE, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MA, NA, NI, NO, NZ, CM, PG, PH, PI, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, IM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VY, UZ, ZM, ZW, RN, AT, RE, BE, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TR, (English). CODEN: PIXXD2. APPLICATION: WO 2004-EP8508 20040729. PRIORITY: ES 2003-1813 20030730.

AB Title compds. [I; R1-R4 = H, halo, NO2, cyano, (substituted) (unsatd.) aliphatyl, (heterolcycloaliphatyl, aryl, heteroaryl, etc.; R5 = H, (substituted) (unsatd.) aliphatyl, cycloaliphatyl; R6-R9 = H, cyano, (substituted) (unsatd.) aliphatyl, (heterolcycloaliphatyl, etc.; A = CHR18, CHR18CH2; B = (substituted) (unsatd.) aliphatyl, cycloaliphatyl, etc.; R10 = H, (substituted) (unsatd.) aliphatyl, (pcloaliphatyl, aryl, heteroaryl, etc.; R11 = (substituted) (unsatd.) aliphatyl, (heterolcycloaliphatyl, aryl, heteroaryl, etc.; R10R1N = (substituted) (aromatic) heterocyclyl; R18 = H, (substituted) (unsatd.) aliphatyl, (heterolcycloaliphatyl, aryl, heteroaryl, etc.; R10R1N = (substituted) (aromatic) heterocyclyl; R18 = H, (substituted) (unsatd.) aliphatyl, (heterolcycloaliphatyl, aryl, heteroaryl, etc.], were prepared Thus, 1-(4-methyl-2-hydroxymethylphenylamino)piperidine dihydrochloride, 2-chloro-N-phenylacetamide, and K2CO3 were stirred together overnight in DMF to give 63%
4-[2-(2-hydroxymethyl-4-methylphenylamino)piperidin-1-yl]-N-phenylacetamide. Tested I showed NPY5 binding with IC50 = 40.1-80.9 nM.

nM.

I are useful for the regulation of disorders of food ingestion, such as obesity, anorexia, cachexia, bulimia or type II diabetes, for the prophylaxis and/or treatment of disorders of the peripheral nervous system, disorders of the central nervous system, disorders of the central nervous system, anxiety, depression, cognitive disorders, preferably memory disorders, cardiovascular diseases, pain, epilepsy, arthritis, hypertensive syndrome, inflammatory diseases, immune diseases and other NPYS mediated disorders.

IT 84642-92-8P 845525-14-6P 846525-16-8P 845525-17-9P 845525-13-91-P 845525-13-99 845525-18-91-P 845553-25-P 845553-26-6P 845553-27-P 845553-28-8P 845553-29-9P 845553-32-P 845553-32-P 845553-31-3P 845553-32-P 845553-33-P 845553-33-P 845553-33-P 845553-33-P 845553-35-8P

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
845553-37-9F 845553-38-0F 845553-39-1F
845553-40-4F 845553-41-5F 845553-42-6F
845553-40-1F 845553-41-5F 845553-42-9F
845553-49-0F 845553-47-1F 845553-48-2F
845553-49-3F 845553-50-6F 845553-17-FF
845553-19-1F 845553-50-9F 845553-17-8F
845553-51-1F 845553-56-2F 845553-17-8F
845553-19-9F 845553-66-2F 845553-60-8F
845553-61-9F 845553-65-2F 845553-60-8F
845553-61-9F 845553-65-2F 845553-73-9F
845553-61-9F 845553-61-3F 845553-61-3F
845553-73-3F 845553-86-2F 845553-72-2F
845553-73-3F 845553-71-1F 845553-77-8F
845553-79-9F 845553-71-1F 845553-77-8F
845553-79-9F 845553-86-2F 845553-72-2F
845553-79-9F 845553-86-2F 845553-77-9F
845553-79-9F 845553-86-2F 845553-79-9F
845553-81-9F 845553-86-2F 845553-87-9F
845553-91-9F 845553-88-2F 845553-87-9F
845553-91-9F 845553-91-9F 845553-97-9F
845553-91-9F 845553-91-9F 845553-91-9F
845554-01-9F 845554-01-1F 845554-01-1F
845554-01-9F 845554-01-1F 845554-01-1F
845554-01-9F 845554-01-9F 845554-01-9F
845554-01-9F 845554-01-9F 845554-01

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) (claimed compd.; prepn. of phenylaminopiperidinylacetamides and L5 related

844642-92-8

compds. as neuropeptide Y5 ligands for the treatment of obesity) 844642-92-8 CAPLUS
1-Piperidineacetamide, N-(9-ethy1-9H-carbazol-3-y1)-4-[[3-hydroxy-2-(hydroxymethy1)pheny1]amino]- (CA INDEX NAME)

844642-93-9 CAPLUS
1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

844642-95-1 CAPLUS 1-Fiperidineacetamide, N-(9-ethyl-9H-carbazol-3-y1)-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845525-13-5 CAPLUS 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-phenyl- (CA INDEX NAME)

(Continued)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

RN 845525-19-1 CAPLUS
CN 1-Piperidineacetamide,
N-(9-hydroxy-9H-fluoren-3-yl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]- (CA INDEX NAME)

RN 845553-25-5 CAPLUS CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-3-quinolinyl-(CA INDEX NAME)

845553-26-6 CAPLUS

CN 1-Piperidineacetamide,
4-[[2-(hydroxymethyl)phenyl]amino]-N-5-quinolinyl(CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845525-14-6 CAPLUS
1-Piperidineacetamide, N-(2,3-dihydro-1-oxo-1H-inden-5-yl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845525-16-8 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-(9oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

845525-17-9 CAPLUS

1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-(9-oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

RN 845525-18-0 CAPLUS
CN 1-Piperidineacetamide,
N-(9-hydroxy-9H-fluoren-3-y1)-4-[[2-(hydroxymethy1)-4-methylpheny1]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 845553-27-7 CAPLUS
CN 1-Piperidineacetamide,
4-[[2-(hydroxymethyl)phenyl]amino]-N-6-quinolinyl(CA INDEX NAME)

RN 845553-28-8 CAPLUS CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-8-quinolinyl-(CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 845553-29-9 CAPLUS
CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-3quinoliny1- (CA INDEX NAME)

RN 845553-30-2 CAPLUS
CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-5quinolinyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) PAGE 1-A

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RN 845553-33-5 CAPLUS
CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-3quinolinyl- (CA INDEX NAME)

RN 845553-34-6 CAPLUS
CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-5quinolinyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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845553-31-3 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethy1)-4-methylpheny1]amino]-N-6-quinoliny1- (CA INDEX NAME)

RN 845553-32-4 CAPLUS
CN 1-Fiperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-8quinolinyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 845553-35-7 CAPLUS
CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-6quinolinyl- (CA INDEX NAME)

RN 845553-36-8 CAPLUS
CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-8quinoliny1- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-37-9 CAPLUS 1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN CN 845553-38-0 CAPLUS

U-JUDI-30-0 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-42-6 CAPLUS
1-Piperidineacetamide, N-1,3-benzodioxol-5-yl-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

845553-43-7 CAPLUS 1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-3-quinolinyl (CA INDEX NAME)

845553-44-8 CAPLUS 1-Fiperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-3-quinolinyl- (CA INDEX NAME)

845553-45-9 CAPLUS
1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-3-quinolinyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Me NH
$$CH_2-C-NH$$
 $C-Ph$

845553-39-1 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]- (CA INDEX NAME)

RN CN

845553-40-4 CAPLUS 1-Piperidineacetamide, N-1,3-benzodioxol-5-yl-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845553-41-5 CAPLUS
1-Piperidineacetamide, N-1,3-benzodioxol-5-yl-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-46-0 CAPLUS
1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-5-quinolinyl- (CA INDEX NAME)

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845553-47-1 CAPLUS
1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-5-quinolinyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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845553-48-2 CAPLUS
1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-5-quinolinyl- (CA INDEX NAME)

- ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 84553-51-7 CAPLUS 1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-6-quinolinyl- (CA INDEX NAME)

845553-52-8 CAPLUS 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]-N-6-quinolinyl- (CA INDEX NAME)

845553-53-9 CAPLUS RN

AN 04000-03-9 CAPLUS
CN 1-Piperidineacetamide,
4-[[4,5-difluoro-2-(hydroxymethyl)phenyl]amino]-N-6quinolinyl- (CA INDEX NAME)

845553-54-0 CAPLUS
1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-8-quinolinyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-49-3 CAPLUS
1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-6-quinolinyl- (CA INDEX NAME)

845553-50-6 CAPLUS 1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-6-quinoilnyl (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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845553-55-1 CAPLUS 1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-8-quinollnyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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845553-56-2 CAPLUS
1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-8-quinolinyl- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-59-5 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]- (CA INDEX NAME)

845553-60-8 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME) RN CN

845553-61-9 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-57-3 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \\ \text{CH}_2\text{-OH} \end{array}$$

RN

845553-58-4 CAPLUS
1-Piperidineacetamide, N-(4-benzoylpheny1)-4-[[4-fluoro-2-(hydroxymethy1)pheny1]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-62-0 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-[4-(hydroxymethyl)phenyl]- (CA INDEX NAME)

RN CN

845553-63-1 CAPLUS
1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

845553-64-2 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-65-3 CAPLUS 1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

845553-66-4 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

845553-67-5 CAPLUS
1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 84553-71-1 CAPLUS 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]-N-(9-oxo-9H-fluoren-2-yl)- (CA INDEX NAME)

1-Piperidineacetamide, 4-[[4,5-difluoro-2-(hydroxymethyl)phenyl]amino]-N-(9-oxo-9H-fluoren-2-yl)- (CA INDEX NAME)

845553-73-3 CAPLUS

1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethy1)pheny1]amino]-N-(9-oxo-9H-fluoren-2-y1)- (CA INDEX NAME)

845553-74-4 CAPLUS
1-Piperidineacetamide, N-(9-hydroxy-9H-fluoren-2-yl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845553-75-5 CAPLUS 1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9-hydroxy-9H-fluoren-2-yl)- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{C1} & & & \\ & & & \\ & & \\ \text{CH}_2-\text{OH} \end{array}$$

845553-68-6 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-(9-oxo-9H-fluoren-2-yl)- (CA INDEX NAME)

(Continued)

845553-69-7 CAPLUS
1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9oxo-9H-fluoren-2-yl)- (CA INDEX NAME)

845553-70-0 CAPLUS

OFFICE CARBOS 4-[[4-fluoro-2-(hydroxymethy1)pheny1]amino]-N-(9-oxo-9H-fluoren-2-y1)- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-76-6 CAPLUS
1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-(9-hydroxy-9H-fluoren-2-yl)- (CA INDEX NAME)

845553-77-7 CAPLUS

CN 1-Piperidineacetamide, N-(9-hydroxy-9H-fluoren-2-y1)-4-[[2-(hydroxymethy1)-6-methoxypheny1]amino]- (CA INDEX NAME)

845553-78-8 CAPLUS 1-Fiperidineacetamide, 4-[[4,5-difluoro-2-(hydroxymethyl)phenyl]amino]-N-(9-hydroxy-9H-fluoren-2-y1)- (CA INDEX NAME)

845553-79-9 CAPLUS
1-Piperidineacetamide, 4-[[2-chloro-6-(hydroxymethyl)phenyl]amino]-N-(9-hydroxy-9H-fluoren-2-yl)- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c} \text{OH} \\ \text{OH} \\ \text{NH-C-CH}_2 \\ \text{NH} \end{array}$$

845553-80-2 CAPLUS 1-Piperidineacetamide, N-(3-acetylphenyl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845553-81-3 CAPLUS 1-Fiperidineacetamide, N-(3-acetylphenyl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]- (CA INDEX NAME)

RN

845553-82-4 CAPLUS
1-Piperidineacetamide, N-(3-acetylphenyl)-4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME) CN

845553-83-5 CAPLUS 1-Fiperidineacetamide, N-(3-acetylphenyl)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

(Continued)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN RN 845553-87-9 CAPLUS CN 1-Piperidineacetamide, N-[3-(1-hydroxyethyl)phenyl]-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH} \\ \text{OH} \\ \text{OH} \end{array}$$

845553-88-0 CAPLUS
1-Piperidineacetamide, N-1,3-benzodioxol-5-yl-4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845553-89-1 CAPLUS
1-Piperidineacetamide, N-1,3-benzodioxol-5-yl-4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845553-90-4 CAPLUS 1-Piperidihaeactamide, N-1,3-benzodioxol-5-yl-4-[[2-(hydroxymethy1)-6-methoxyphenyl]amino]- (CA INDEX NAME)

845553-91-5 CAPLUS 1-Piperidineacetamide, N-1,3-benzodioxol-5-yl-4-[[4,5-difluoro-2-

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-84-6 CAPLUS
1-Piperidineacetamide, N-[3-(1-hydroxyethyl)phenyl]-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 845553-85-7 CAPLUS
CN 1-Piperidineacetamide,
N-[3-(1-hydroxyethyl)phenyl]-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]- (CA INDEX NAME)

RN 845553-86-8 CAPLUS
CN 1-Piperidineacetamide,
4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-[3-(1-hydroxyethyl)phenyl]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (hydroxymethyl)phenyl]amino]- (CA INDEX NAME) (Continued)

845553-92-6 CAPLUS
1-Piperidineacetamide, N-1,3-benzodioxol-5-yl-4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN

845553-93-7 CAPLUS 1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845553-94-8 CAPLUS 1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]- (CA INDEX NAME)

845553-95-9 CAPLUS 1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

 $845553-96-0 \quad {\tt CAPLUS} \\ 1-{\tt Piperidineacetamide, N-(4-acetylphenyl)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-} \quad ({\tt CA \ INDEX \ NAME})$

CH2-OH

845553-97-1 CAPLUS 1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845553-98-2 CAPLUS
1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 845554-02-1 CAPLUS
CN 1-Piperidineacetamide,
4-[[4-chlozo-2-(hydroxymethyl)phenyl]amino]-N-[4-(1-hydroxyethyl)phenyl]- (CA INDEX NAME)

RN 84554-03-2 CAPLUS
CN 1-Piperidineacetamide,
N-[4-(1-hydroxyethyl)phenyl]-4-[[2-(hydroxymethyl)4-methylphenyl]amino]- (CA INDEX NAME)

RN 845554-04-3 CAPLUS CN 1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-[4-(1-hydroxyethyl)phenyl]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845553-99-3 CAPLUS 1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-00-9 CAPLUS 1-Piperidineacetamide, N-[4-(1-hydroxyethy1)pheny1]-4-[[2-(hydroxymethy1)pheny1]amino]- (CA INDEX NAME)

RN 845554-01-0 CAPLUS
CN 1-Piperidineacetamide,
N-[4-(1-hydroxyethyl)]-henyl]-4-[[2-(hydroxymethyl)-6-methyl]henyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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RN 845554-05-4 CAPLUS
CN 1-Piperidineacetamide,
N-[4-(1-hydroxyethyl)phenyl]-4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]- (CA INDEX NAME)

RN 845554-06-5 CAPLUS
CN 1-Piperidineacetamide,
4-[[3-chlcro-2-(hydroxymethyl)phenyl]amino]-N-[4-(1-hydroxyethyl)phenyl]- (CA INDEX NAME)

845554-07-6 CAPLUS 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-08-7 CAPLUS
1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-09-8 CAPLUS
CN 1-Piperidineacetamide,
N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

845554-10-1 CAPLUS
1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 845554-11-2 CAPLUS
CN 1-Piperidineacetamide,
N-(9-ethyl-9H-carbascol-3-yl)-4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]- (CA INDEX NAME)

(Continued) ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

845554-16-7 CAPLUS 1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9-methyl-94-carbazol-3-yl)- (CA INDEX NAME)

845554-17-8 CAPLUS

845554-18-9 CAPLUS

1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

845554-19-0 CAPLUS 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-12-3 CAPLUS
1-Piperidineacetamide, 4-[[4,5-difluoro-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

845554-13-4 CAPLUS
1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazo1-3-yl)- (CA INDEX NAME)

845554-14-5 CAPLUS

1-Piperidineacetamide, 4-[(2-(hydroxymethy1)pheny1]amino]-N-(9-methy1-9H-carbazol-3-y1)- (CA INDEX NAME)

845554-15-6 CAPLUS

T-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-20-3 CAPLUS
1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

845554-21-4 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

845554-22-5 CAPLUS
1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

845554-23-6 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-24-7 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

845554-25-8 CAPLUS 1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

RN 845554-26-9 CAPLUS

OFFICIAL CARBOS (AFEC) (AFEC)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-30-5 CAPLUS
1-Piperidineacetamide, N-(2,3-dihydro-1-oxo-1H-inden-5-y1)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

845554-31-6 CAPLUS 1-Piperidineacetamide, N-(2,3-dihydro-1-hydroxy-1H-inden-5-y1)-4-[[2-(hydroxyethy1)pheny1]amino]- (CA INDEX NAME)

845554-32-7 CAPLUS 1-Piperidinacctamide, N-(2,3-dihydro-1-hydroxy-1H-inden-5-y1)-4-{{2-hydroxymethyl}-6-methylphenyl]amino}- (CA INDEX NAME)

RN 845554-33-8 CAPLUS
CN 1-Piperidineacetamide,
4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(2,3dihydro-1-hydroxy-1H-inden-5-yl)- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-27-0 CAPLUS
1-Piperidineacetamide, 4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

845554-28-1 CAPLUS 1-Piperidineacetamide, N-(2,3-dihydro-1-oxo-1H-inden-5-y1)-4-[[2-(hydroxymethy1)-6-methylpheny1]amino]- (CA INDEX NAME)

845554-29-2 CAPLUS

NN 849594-29-2 CAPLUS
CN 1-Piperidineacetamide,
4-[[4-chloro-2-(hydroxymethy1)pheny1]amino]-N-(2,3-dihydro-1-oxo-1H-inden-5-y1)- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-34-9 CAPLUS
1-Piperidineacetamide, N-(2,3-dihydro-1-hydroxy-1H-inden-5-yl)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

845554-35-0 CAPLUS
1-Fiperidineacetamlde, 4-[[4-bromo-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

845554-36-1 CAPLUS

OFFICIAL CARROS (A-[[2-(hydroxymethy1)-6-methylpheny1]amino]-N-(9-oxo-9H-fluoren-2-y1)- (CA INDEX NAME)

845554-37-2 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-(9-oxo-9H-fluoren-2-yl)- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554_38_3 CAPLUS

D-Piperidineacetamide, N-3-dibenzofuranyl-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

845554-39-4 CAPLUS 1-Piperidimeacetanide, N-3-dibenzofuranyl-4-[[2-(hydroxymethyl)-6-methylphenyllamino]- (CA INDEX NAME)

845554-40-7 CAPLUS

1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]-N-3-quinolinyl- (CA INDEX NAME)

RN 845554-41-8 CAPLUS
CN 1-Piperidineacetamide,
4-[[4,5-difluoro-2-(hydroxymethyl)phenyl]amino]-N-3quinolinyl- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-(4-phenoxyphenyl)- (CA INDEX NAME)

845554-46-3 CAPLUS 1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(4-phenoxyphenyl)- (CA INDEX NAME)

845554-47-4 CAPLUS

P-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-(4-phenoxyphenyl)- (CA INDEX NAME)

Me NH
$$\sim$$
 CH₂- C-NH \sim OPI

845554-48-5 CAPLUS 1-Piperidineacetamide, N-(4-cyclohexylphenyl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c|c} & & & \\ &$$

845554-42-9 CAPLUS 1-Piperidineacetamide, (9-hydroxy-9H-fluoren-2-y1)-4-[[2-(hydroxymethy1)-6-methylphenyl]amino]- (CA INDEX NAME)

RN 845554-43-0 CAPLUS
CN 1-Piperidineacetamide,
N-(9-hydroxy-9H-fluoren-2-yl)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

845554-44-1 CAPLUS 1-Fiperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-(4-phenoxyphenyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{N} \\ \text{N} \\ \text{CH}_2-\text{C} \\ \text{NH} \end{array}$$

845554-45-2 CAPLUS

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 1-Piperidineacetamide, 4-f(2-(hydroxymethyl)-3-methoxyphenyl]amino]-N-3-quinolinyl- (CA INDEX NAME)

845554-50-9 CAPLUS 1-Piperidineacetamide, 4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-3-quinolinyl (CA INDEX NAME)

845554-51-0 CAPLUS 1-Piperidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-3-quinolinyl- (CA INDEX NAME) RN CN

845554-52-1 CAPLUS

1-Piperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-3-quinolinyl- (CA INDEX NAME)

845554-53-2 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-54-3 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-55-4 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-56-5 CAPLUS
1-Piperidineacetamide, N-(4-benzoylphenyl)-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c} \text{Ph} \\ \text{CH} - \text{OH} \\ \\ \text{CH} - \text{OH} \end{array}$$

845554-60-1 CAPLUS 1-Piperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

845554-61-2 CAPLUS RN

1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]-N-(9-oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

845554-62-3 CAPLUS
1-Piperidineacetamide, 4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(9oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

845554-63-4 CAPLUS 1-Piperidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(9-oxo-9H-fluoren-3-yl)- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-57-6 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{CH-OE} \\ \\ \text{N-CH}_2\text{-C-NH-} \end{array}$$

845554-58-7 CAPLUS
1-Piperidineacetamide, 4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

845554-59-8 CAPLUS
1-Piperidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-[4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-64-5 CAPLUS
1-Fiperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-(9ox-98-fibrozen-3-yl)- (CA INDEX NAME)

RN 845554-65-6 CAPLUS CN 1-Piperidineacetamide, N-(9-hydroxy-9H-fluoren-3-y1)-4-[[2-(hydroxymethy1)-3-methoxyphenyl]amino]- (CA INDEX NAME)

845554-66-7 CAPLUS 1-Piperidineacetamide, N-(9-hydroxy-9H-fluoren-3-y1)-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-67-8 CAPLUS
1-Piperidineacetamide, N-(9-hydroxy-9H-fluoren-3-y1)-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-68-9 CAPLUS
1-Piperidineacetamide, N-(9-hydroxy-9H-fluoren-3-y1)-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-69-0 CAPLUS 1-Piperidimacetanide, N-(3-acetylphenyl)-4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]- (CA INDEX NAME)

845554-70-3 CAPLUS 1-Fiperidineacetamide, N-(3-acetylphenyl)-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-71-4 CAPLUS
1-Piperidineacetamide, N-(3-acetylphenyl)-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-76-9 CAPLUS 1-Piperidineacetamide, N-[3-(1-hydroxyethyl)phenyl]-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN

845554-77-0 CAPLUS
1-Fiperidineacetamide, N-(4-acetylpheny1)-4-[[2-(hydroxymethy1)-3-methoxypheny1]amino]- (CA INDEX NAME)

845554-78-1 CAPLUS
1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-79-2 CAPLUS
1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

845554-72-5 CAPLUS
1-Piperidineacetamide, N-(3-acetylphenyl)-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 845554-73-6 CAPLUS CN 1-Piperidineacetamide, N-[3-(1-hydroxyethyl)phenyl]-4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{NH} \\ \text{CH}_2\text{-CH} \\ \text{NH} \\ \text{OH} \end{array}$$

845554-74-7 CAPLUS
1-Piperidineacetamide, N-[3-(1-hydroxyethyl)phenyl]-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-75-8 CAPLUS 1-Piperidineacetamide, N-[3-(1-hydroxyethyl)phenyl]-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino)- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-80-5 CAPLUS
1-Piperidineacetamide, N-(4-acetylphenyl)-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

845554-81-6 CAPLUS

CN 1-Piperidineacetamide,
N-[4-(1-hydroxyethy1)pheny1]-4-[[2-(hydroxymethy1)3-methoxypheny1]amino]- (CA INDEX NAME)

845554-82-7 CAPLUS
1-Piperidineacetamide, N-[4-(1-hydroxyethyl)phenyl]-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c} \text{OH} \\ \text{CH}_2\text{-OH} \\ \end{array}$$

845554-83-8 CAPLUS
1-Piperidineacetamide, N-[4-(1-hydroxyethyl)phenyl]-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{CH} \\ \text{NH} \end{array}$$

845554-84-9 CAPLUS
1-Piperidineacetamide, N-[4-(1-hydroxyethy1)pheny1]-4-[[2-hydroxy-6-(hydroxymethy1)pheny1]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{CH-Me} \\ \\ \text{CH2-OH} \end{array}$$

RN 845554-85-0 CAPLUS
CN 1-Piperidineacetamide,
N-(9-ethyl-9H-carbazo1-3-yl)-4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-89-4 CAPLUS 1-Piperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

845554-90-7 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

845554-91-8 CAPLUS 1-Fiperidineacetamide, 4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

845554-92-9 CAPLUS 1-Piperidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845554-86-1 CAPLUS
1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

845554-87-2 CAPLUS 1-Piperidineacetamide, 4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

RN

845554-88-3 CAPLUS 1-Fiperidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) (5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl) - (CA INDEX NAME)

845554-93-0 CAPLUS
1-Piperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

RN 845554-94-1 CAPLUS

1-Piperidineacetamide, 4-[[2-(hydroxymethy1)-3-methoxypheny1]amino]-N-(4-phenoxypheny1)- (CA INDEX NAME)

845554-95-2 CAPLUS
1-Piperidineacetamide, 4-[(3-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(4-phenoxyphenyl)- (CA INDEX NAME)

845554-96-3 CAPLUS 1-Piperidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-(4-

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN phenoxyphenyl)- (CA INDEX NAME) (Continued)

845554-97-4 CAPLUS
1-Piperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-(4-phenoxyphenyl)- (CA INDEX NAME)

RN 845554-98-5 CAPLUS
CN 1-Piperidineacetamide,
N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino)- (CA INDEX NAME)

845554-99-6 CAPLUS Benzoic acid, 2-[[1-[2-oxo-2-[(9-oxo-9H-fluoren-3-yl)amino]ethyl]-4-piperidinyl]amino]-, methyl ester (CA INDEX NAME)

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 845555-93-3 CAPLUS 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]-N-6-quinolinyl- (CA INDEX NAME)

845525-12-4P 845525-15-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIGL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylaminopiperidinylacetamides and related compds. as

neuropeptide Y5 ligands for the treatment of obesity)
845525-12-4 CAPLUS
Benzoic acid, 2-[[1-[2-oxo-2-[(9-oxo-9H-fluoren-3-y1)amino]ethyl]-4piperidinyl]amino]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 845525-15-7 CAPLUS CN 1-Piperidineacetamide, N-(9-etnyl)-9H-carbascol-3-yl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

845555-76-2 CAPLUS
1-Piperidineacetamide, 4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-6-quinolinyl- (CA INDEX NAME)

845555-77-3 CAPLUS 1-Piperidineacetamide, 4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]-N-6-quinolinyl (CA INDEX NAME)

845555-78-4 CAPLUS
1-Piperidineacetamide, 4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]-N-6-quinolinyl- (CA INDEX NAME)

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L5 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2003:300616 Document No. 138:321298 Preparation of succinoylamino heterocycles as Aβ peptide production inhibitors. Thompson, Lorin A.; Kasireddy, Padmaja (USA). U.S. Pat. Appl. Publ. US 20030073701 Al 20030417, 57 pp. (English). CODEN: USXXCO. APPLICATION: US 2001-823820 20010331.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

AB III

II

having drug and bio-affecting properties, their pharmaceutical compns.

methods of use. These novel compds, inhibit the processing of amyloid precursor protein and, more specifically, inhibit the production of $\lambda\beta$ -peptide, thereby acting to prevent the formation of neurol, deposits of amyloid protein. More particularly, the present invention relates to the treatment of neurol, disorders related to β -amyloid production such as Alzheimer's disease and Down's Syndrome. Although the methods of preparation are not claimed, .apprx.130 example prepns, are included. I inhibit the activity of γ -secretase, as determined by the $\lambda\beta$ immunopptn. assay; they inhibit $\lambda\beta$ protein production with an 1C50 or Ki value of <100 μ M, but no values are shown for individual I. 365539-16-8P 365539-59-9P 365539-60-2P

ANSWER 14 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

365539-61-3 CAPLUS 1-Fiperidinebutanamide, 4-[(3-methoxyphenyl)amino]- β -(2-methylpropyl)- γ -oxo- α -propyl-, (dS, β R)- (CA INDEX NAME)

Absolute stereochemistry.

CAPLUS

The piper id inebut an amide, 4-[(3-methoxy-4-methylphenyl)amino]- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

365539-67-9 CAPLUS 1-Piperidinebutanamide, β -(2-methylpropyl)- γ -oxo- α -propyl-4-[(4-(trifluoromethyl)phenyl]amino]-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 14 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 365539-61-3P 365539-63-5P 365539-67-9P 365539-69-1P 365539-70-4P 365539-71-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry

365539-59-9 CAPLUS 1-Piperidinebutanamide, 4-(1,3-benzodioxol-5-ylamino)- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX

Absolute stereochemistry.

365539-60-2 CAPLUS

1-Piperidinebutanamide, β -(2-methylpropyl)- γ -oxo-4-(phenylamino)- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 14 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

365539-69-1 CAPLUS 1-Piperidinebutanamide, 4-(1,3-benzodioxol-5-ylbenzoylamino)- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX

Absolute stereochemistry.

365539-70-4 CAPLUS

10-Fiperidinebutanamide, 4-(benzoylphenylamino)- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

365539-71-5 CAPLUS 1-Piperidinebutanamide, 4-[benzoyl(3-methoxyphenyl)amino]- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) bridge; n and m = independently 0-3 with restriction that n and m \neq 0 at the same time; with provisos] and optical antipodes, racemates, or pharmaceutically acceptable salts thereof are prepd. as NMDA receptor antagonists, and moreover most of the compds. are selective antagonist of NMCAB subtype of NMDA receptor. For example, 2-[4-(4-fluorobenzyl)piperidin-1-yl]-2-oxoacetic acid (prepn given) was treated with 5-amino-1,3-dihydroindol-2-one in DMF in the presence of

2-[4-(4-fluorobenzyl)piperidin-1-yl]-2-oxoacetic acid (prepn given) was treated with 5-amino-1,3-dihydroindol-2-one in DMF in the presence of and HBTU to afford the acetamide II (48%). II showed IC50 of 0.0007 µM against NMDA in rat. Formulations contg. I as an active ingredient were also described.
49c057-18-2P 49c058-31-2P 49c058-32-3P 49c058-6-6P 49c058-57-8P 49c058-8-37-8P 49c058-8-8-9P 49c058-6-0P 49c058-61-8P 49c058-62-9P 49c058-60-1P 49c058-64-1P 49c058-62-9P 49c058-60-1P 49c058-64-1P 49c058-69-6P 49c058-81-9P 49c058-9P 49c058-9P 49c058-9P 49c058-9P 49c058-9P 49c058-9P 49c058-9P 49c059-11-6P 49c058-9P 49c059-11-5P 49c059-11-6P 49c059-11-6P 49c059-11-6P 49c059-11-6P 49c059-11-6P 49c059-11-P 49c059-2P 49c059-9P 49c069-9P 49c06

RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(NMDA receptor antagonist; preparation of piperidinylacetamides by coupling

reactions as NMDA receptor antagonists)
496057-18-2 CAPLUS
1-Piperidineacetamide, 4-[methyl(4-methylphenyl)amino]-N-[4[(methylsulfonyl)amino]phenyl]- (CA INDEX NAME)

GT

$$\begin{array}{c} V \\ V \\ W \\ W \\ X \\ \end{array} \begin{array}{c} (CHR^1)_{m} \\ V \\ (CHR^2)_{n} \end{array} \begin{array}{c} I \\ V \\ Z \\ \end{array} \begin{array}{c} I \\ V \\ \end{array}$$

The title compds. I [wherein V and U = independently H, halo, OH, CN, NO2,

NH2, alkylsulfonyloxy, carboxyl, CF3, CF30, alkyl-802-NHCH2, NH2-(CH2)l-4-S02NH, NH2-(CH2)l-4-CONH, sulfamoyl, CH0, aminomethyl,

HOCH2,

BOCH2,
alkyl, alkoxymethyl, halo-CH2, tetrazolyl, alkoxy(carbonyl), alkanoyloxy,
Ph, (un)substituted alkylamino, arylamino, aralkylamino,
alkylsulfonamido,
alkanoylamido, arylsulfonamido, or alkoxy groups; or the neighboring V

and

U together form (un)substituted 4-7 membered ring with the atoms attached

shed; W and X = independently CO, CH2, or CH-alkyl; Y = O, (cyclo)alkylene, alkynylene, aminocarbonyl, NH, N-alkyl, CH2O, CH(OH), or CCH2; Z = H, halo, NO2, NH2, alkyl, alkoxy, CN, CF3, OH, or Co2H; Rl and R2 independently H or alkyl; or R1 and R2 together form (un)substituted C1-C3

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Con1-Piperidineacetamide, $4-[(4-{\rm chlorophenyl}]{\rm methylamino}]{\rm -N-}[4:[({\rm methylsulfonyl}){\rm amino}]{\rm phenyl}]{\rm -}\alpha{\rm -}{\rm oxo-}$ (CA INDEX NAME)

RN 496058-35-6 CAPLUS

1-Piperidineacetamide, 4-[methyl(4-methylphenyl)amino]-N-[4-[(methylsulfonyl)amino|phenyl]-a-oxo-(CA INDEX NAME)

496058-37-8 CAPLUS

1-Piperidineacetamide, N-[4-(acetylamino)pheny1]-4-[(4-bromopheny1)methylamino]- α -oxo- (CA INDEX NAME)

496058-38-9 CAPLUS 1-Piperidineacetamide, N-[4-(acetylamino)phenyl]-4-[methyl(4-methylphenyl)amino]- α -oxo- (CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

496058-60-7 CAPLUS 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2,3-dihydro-2-oxo-5-benzoxazolyl)- α -oxo- (CA INDEX NAME)

496058-61-8 CAPLUS 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2,3-dihydro-2-oxo-1H-benzimidazo1-5-yl)-α-οxο- (CA INDEX NAME)

496058-62-9 CAPLUS 1-Piperidineacetamide, N-1H-benzimidazo1-6-y1-4-[(4-chlorophenyl)methylamino]- α -oxo- (CA INDEX NAME) CN

RN

496058-63-0 CAPLUS 1-Piperidimeacetamide, 4-[(4-chlorophenyl)methylamino]-N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)- α -oxo- (CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) (1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxalinyl)- (CA INDEX NAME)

496058-69-6 CAPLUS 1-Piperidimacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2,3-dihydro-2-thioxo-1H-benzimidazol-5-yl)-α-οxο- (CA INDEX NAME)

RN

496058-70-9 CAPLUS
1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2,3-dihydro-2-oxo-6-benzothiazolyl)-a-oxo- (CA INDEX NAME) CN

496058-79-8 CAPLUS 1-Fiperidineacetamide, N-(2,3-dihydro-2-oxo-5-benzoxazoly1)-4-[methyl(4-methylphenyl)amino]- α -oxo- (CA INDEX NAME)

496058-80-1 CAPLUS 1-Piperidineacetamide, N-1H-benzimidazol-6-yl-4-[methyl(4-methylphenyl)amino]- α -oxo- (CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

BM 496058-64-1 CAPLUS

 $4 - \hbox{\tt [(4-chlorophenyl)methylamino]-N-1H-indazol-5-yl-}\\$

496058-65-2 CAPLUS 1-Piperidineacetamide, N-1H-benzotriazol-6-yl-4-[(4-chlorophenyl)methylamino]- α -oxo- (CA INDEX NAME)

RN 496058-66-3 CAPLUS

1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]- α -oxo-N-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)- (CA INDEX NAME)

496058-67-4 CAPLUS 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]- α -oxo-N-

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

496058-81-2 CAPLUS 1-Fiperidinaectamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-y1)-4-[methyl (4-methyl phenyl) amino]- α -oxo- (CA INDEX NAME)

496058-82-3 CAPLUS

1-Piperidineacetamide, N-1H-indazol-5-yl-4-[methyl(4-methylphenyl)amino]- α -oxo- (CA INDEX NAME)

496058-83-4 CAPLUS 1-Piperidineacetamide, N-1H-benzotriazol-6-yl-4-[methyl(4-methylphenyl)amino]- α -oxo- (CA INDEX NAME) CN

496058-84-5 CAPLUS
1-Piperidineacetamide, N-(2-methyl-1H-benzimidazol-6-yl)-4-[methyl(4-methylphenyl)amino]- α -oxo- (CA INDEX NAME)

L5 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

BM 496058-85-6 CAPLUS

 $\begin{array}{lll} & \text{The Lorentz} & \text{CAPLOS} & \text$

496058-86-7 CAPLUS 1-Piperidineacetamide, N-(2,3-dihydro-2-thioxo-1H-benzimidazo1-5-y1)-4-[methyl (4-methylphenyl)amino]- α -oxo- (CA INDEX NAME)

RN 496058-87-8 CAPLUS
CN 1-Fiperidineacetamide,
N-(2,3-dihydro-2-oxo-6-benzothiazoly1)-4-[methyl(4-methylphenyl)amino]-α-oxo- (CA INDEX NAME)

RN 496058-90-3 CAPLUS

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 496059-00-8 CAPLUS

1-Piperidineacetamide, 4-[(4-bromophenyl)methylamino]-N-1H-indol-5-yl- α -oxo- (CA INDEX NAME) CN

496059-01-9 CAPLUS 1-Piperidineacetamide, 4-[(4-bromophenyl)methylamino]- α -oxo-N-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)- (CA INDEX NAME)

RN 496059-14-4 CAPLUS CN 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(4-hydroxyphenyl)-(CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 1-Piperidineacetamide, $4-[(4-chlorophenyl)methylamino]-N-1H-indol-5-yl-\alpha-oxo- (CA INDEX NAME)$

496058-98-1 CAPLUS 1-Piperidineacetamide, N-1H-benzimidazol-6-yl-4-[(4-bromophenyl)methylamino]- α -oxo- (CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

496059-15-5 CAPLUS
1-Piperidineacetamide, N-[4-(acetylamino)phenyl]-4-[(4-chlorophenyl)methylamino]- (CA INDEX NAME)

496059-16-6 CAPLUS
1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-[4-[(methylsulfonyl)amino]phenyl]- (CA INDEX NAME) RN CN

496059-20-2 CAPLUS
1-Piperidineacetamide, N-[4-(acetylamino)phenyl]-4-[methyl(4-methylphenyl)amino]- (CA INDEX NAME)

496059-21-3 CAPLUS 1-Piperidineacetamide, N-[4-(acetylamino)phenyl]-4-[(4-bromophenyl)methylamino]- (CA INDEX NAME)

L5 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN N 496059-22-4 CAPLUS COPYRIGHT 2008 ACS on STN 1-Piperidinacetamide, 4-[(4-bromophenyl)methylamino]-N-(4-hydroxyphenyl)-(4-bromophenyl)MAME) (Continued)

496059-23-5 CAPLUS
1-Piperidineacetamide, 4-[(4-bromophenyl)methylamino]-N-[4-[(methylsulfonyl)amino]phenyl]- (CA INDEX NAME)

RN 496059-24-6 CAPLUS CN 1-Piperidineacetamide, N-(4-hydroxyphenyl)-4-[methyl(4-methylphenyl)amino]-(CA INDEX NAME)

RN 496059-90-6 CAPLUS

1-Piperidineacetamide, N-1H-benzimidazol-6-yl-4-[(4-chlorophenyl)methylamino]- (CA INDEX NAME) CN

RN 496059-91-7 CAPLUS

(Continued) ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

496059-96-2 CAPLUS 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2,3-dihydro-2-oxo-6-benzoxazolyl)- (CA INDEX NAME)

496059-97-3 CAPLUS RN

A90039-97-3 CMFLOS
CN 1-Piperidineacetamide,
4-[(4-chlorophenyl)methylamino]-N-1H-indazol-5-yl(CA INDEX NAME)

RN 496059-98-4 CAPLUS CN 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-1H-indazol-6-yl-(CA INDEX NAME)

496059-99-5 CAPLUS 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-1H-indol-5-yl-(CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)- (CA INDEX NAME)

496059-92-8 CAPLUS
1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2,3-dihydro-2-thioxo-6-benzothiazolyl)- (CA INDEX NAME)

496059-93-9 CAPLUS 1-Fiperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2-methyl-1H-benzimidazol-6-yl)- (CA INDEX NAME) RN CN

$$\stackrel{\text{Me}}{\longrightarrow} N \longrightarrow \text{CH}_2 - \stackrel{\text{H}}{\subset} N \\ \stackrel{\text{H}}{\longrightarrow} N$$

RN 496059-94-0 CAPLUS

1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)- (CA INDEX NAME) CN

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

496060-00-5 CAPLUS
1-Piperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2-methyl-1H-indol-5-yl)- (CA INDEX NAME)

RN CN

496060-01-6 CAPLUS
1-Fiperidineacetamide, 4-[(4-chlorophenyl)methylamino]-N-(2,3-dihydro-2-oxo-6-benzothiazolyl)- (CA INDEX NAME)

RN 496060-16-3 CAPLUS

H-Piperidineacetamide, N-(2,3-dihydro-2-oxo-6-benzoxazoly1)-4-[methyl(4-methylphenyl)amino]- (CA INDEX NAME) CN

496060-17-4 CAPLUS
1-Piperidineacetamide, N-(2,3-dihydro-2-oxo-5-benzoxazoly1)-4-[methy1(4-methy1pheny1)amino]- (CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

BM 496060-18-5 CAPLUS

| Pelperidineacetamide, N-(2,3-dihydro-2-oxo-1H-benzimidazol-5-yl)-4-| [methyl(4-methylphenyl)amino] - (CA INDEX NAME)

RN 496060-20-9 CAPLUS

1-Piperidineacetamide, N-1H-benzimidazol-6-yl-4-[methyl(4-methylphenyl)amino]- (CA INDEX NAME)

496060-21-0 CAPLUS
1-Fiperidineacetamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-y1)-4[methyl (4-methylphenyl) amino] (CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

496060-22-1 CAPLUS 1-Fiperidineacetamide, N-1H-indo1-5-y1-4-[methyl(4-methylphenyl)amino]-(CA INDEX NAME)

(Continued)

496060-23-2 CAPLUS
1-Fiperidineacetamide, N-(2,3-dihydro-2-thioxo-6-benzothiazoly1)-4-[methyl4-methylphenyl)amino]- (CA INDEX NAME)

RN

496060-24-3 CAPLUS
1-Fiperidineacetamide, 4-[methyl(4-methylphenyl)amino]-N-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)- (CA INDEX NAME) CN

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 496060-25-4 CAPLUS 1-Piperidineacetamide, N-(2,3-dihydro-2-thioxo-1H-benzimidazol-5-yl)-4-[methyl(4-methylphenyl)amino]- (CA INDEX NAME)

RN 496060-26-5 CAPLUS
CN 1-Piperidineacetamide,
N-(2,3-dinydro-2-oxo-6-benzothiazoly1)-4-[methyl(4-methylphenyl)amino]- (CA INDEX NAME)

RN 496060-27-6 CAPLUS
CN 1-Fiperidineacetamide,
4-[(4-bromophenyl)methylamino]-N-(2-methyl-lH-indol-5-yl)- (CA INDEX NAME)

496060-28-7 CAPLUS
1-Piperidineacetamide, 4-[(4-bxomophenyl)methylamino]-N-(2-methyl-1H-benzimidazol-6-y1)- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} N \longrightarrow \text{CH}_2 - \text{C} - \text{NH} \longrightarrow \stackrel{\text{H}}{\longrightarrow} N$$

496060-29-8 CAPLUS

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 1-Fiperidineacetamide, 4-[(4-brompheny]) methylamino]-N-(1,2,3,4-tetrahydro-2-oxo-6-quinoliny1)- (CA INDEX NAME)

RN 496060-30-1 CAPLUS
CN 1-Piperidineacetamide,
4-[(4-bromophenyl)nethylamino]-N-(2,3-dihydro-2-oxo-6-benzothiazolyl)- (CA INDEX NAME)

RN 496060-31-2 CAPLUS CN

H-Piperidineacetamide, N-(2-methyl-1H-indol-5-yl)-4-[methyl(4-methylphenyl)amino]- (CA INDEX NAME)

RN 496060-32-3 CAPLUS CN 1-Piperidineacetamide, N-1H-indazo1-5-y1-4-[methyl(4-methylphenyl)amino]-(CA INDEX NAME)

496060-33-4 CAPLUS

L5 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN CN 1-Piperidineacetamide, N-1H-indazo1-6-y1-4-[methyl(4-methylphenyl)amino]-(CA INDEX NAME) (Continued)

RN 496060-34-5 CAPLUS
CN 1-Piperidineacetamide,
4-[(4-bromophenyl)nethylamino]-N-(2,3-dihydro-2-oxo-6-benzoxazolyl)- (CA INDEX NAME)

RN 496060-35-6 CAPLUS CN 1-Fiperidineacetamide, 4-[(4-bromophenyl)methylamino]-N-(2,3-dihydro-2-oxo-1H-indo1-5-yl)- (CA INDEX NAME)

496060-36-7 CAPLUS 1-Piperidineacetamide, N-1H-benzimidazol-6-yl-4-[(4-bromophenyl)methylamino]- (CA INDEX NAME)

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 1-Fiperidineacetamide, 4-[(4-bromophenyl)methylamino]-N-1H-indol-5-yl-(CA INDEX NAME)

496060-41-4 CAPLUS
1-Fiperidineacetamide, 4-[(4-bromophenyl)methylamino]-N-(2,3-dihydro-2-thioxo-6-benzothiazolyl)- (CA INDEX NAME)

RN

496060-42-5 CAPLUS 1-Fiperidineacetamlde, 4-[(4-bromophenyl)methylamino]-N-(2,3-dihydro-2-thioxo-1H-benzimldazol-5-yl)- (CA INDEX NAME) CN

496060-43-6 CAPLUS
1-Piperidineacetamide, N-(2-methyl-1H-benzimidazol-6-yl)-4-[methyl(4-methylphenyl)amino]- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} N \stackrel{\text{CH}}{\longrightarrow} CH_2 - C - NH \stackrel{\text{H}}{\longrightarrow} N$$

RN 496060-44-7 CAPLUS
CN 1-Piperidineacetamide,
4-[(4-bromophenyl) methylamino]-N-(2,3-dihydro-2-oxo5-benzoxazolyl)- (CA INDEX NAME)

L5 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\stackrel{\mathsf{Me}}{\underset{\mathsf{Br}}{\bigvee}} \stackrel{\mathsf{N}}{\underset{\mathsf{N}}{\bigvee}} = C + 2 - C - N + \frac{1}{N} \stackrel{\mathsf{H}}{\underset{\mathsf{N}}{\bigvee}}$$

ВM 496060-37-8 CAPLUS

RN 496060-37-8 CAPLOS
CN 1-Piperidineacetamide,
4-[(4-bromophenyl)methylamino]-N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)- (CA INDEX NAME)

RN CN

496060-38-9 CAPLUS 1-Piperidineacetamide, 4-[(4-bromopheny1)methylamino]-N-1H-indazo1-6-yl-(CA INDEX NAME)

RN 496060-39-0 CAPLUS

CN 1-Piperidineacetamide, 4-[(4-bromophenyl)methylamino]-N-1H-indazo1-5-yl-(CA INDEX NAME)

RN 496060-40-3 CAPLUS

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L5 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2002:615600 Document No. 137:154934 Preparation of benzimidazoles as trypsin

iin inhibitors. Thies, Claudia; Braun, Christine; Pouzet, Pascale Arielle Jane-Josee; Anderskewitz, Ralf; Disse, Bernd; Dollinger, Horst;

Wein, wein, Michael; Nar, Herbert; Hasselbach, Kai Malte (Boehringer Ingelheim Pharma K.-G., Germany). PCT Int. Appl. WO 2002062785 Al 20020815, 79 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ.

CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LR, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, CM, PH, FL, FT, RO, RU, SD, SE, SG, SI, SK, SL, IT, IT, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VM, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MK, NE, NL, FT, ST, SN, TD, TG, TR. (German). CODEN: PIXXD2.

APPLICATION: WO 2002-EP1221 20020206. PRIORITY: DE 2001-10105628

Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl,

phenylalkyl, alkylene-bonded 5-6 membered (saturated) heterocyclyl; R2 = C(:NH)NH2,

4-(2-chloro-4-fluorophenylamino)-1-piperidine was alkylated with

N-[2-(2-[4-(tert-butyloxycarbonylamino)benzyl]ethyl)-1-methyl-benzimidazol-5-yl]-N-methyl-2-chloroacetamide (preparation given) and K2CO3 in DMF

for 3 h at 80°-90° followed by elimination of the protective group with CF3CO2H to give 84% N-[2-(4-[aminobenzyl]ethyl)-1-methylbenzimidazol-5-yl]-N-methyl-2-[4-(2-chloro-4-fluorophenylamino)-piperidin-1-yl]acetamide difluoroacetate. The latter inhibited trypsin with IC50 =

ANSWER 16 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

— NH o

CM 1

CRN 445378-22-3 CMF C31 H36 C1 F N6 O

PAGE 1-A

PAGE 1-B

~ CH2-NH2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

445378-10-9P 445378-19-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzimidazoles as trypsin inhibitors)

ANSWER 16 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN L5 (Continued)

IT

ANSWER 16 OF 27 CAPLOS COPYRIGH 2008 ACS ON SIN (Continued)
0.004-0.03 µM.
445378-08-5P 445378-17-6P 445378-23-4P
KI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Uses) (Uses) (preparation of benzimidazoles as trypsin inhibitors)
RN 445378-08-5 CAPLUS
CN 1-Piperidineacetamide,
N-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl1H-benzimidazol-5-yl]-N-(1-naphthalenylmethyl)-4-(phenylamino)-,
hydrochloride (1:2) (CA INDEX NAME)

445378-17-6 CAPLUS

NH 4950-0-1 ATTOO CATTOO CATTOO CONTROL OF THE PROPERTY OF T

PAGE 1-A

●2 HCl

ANSWER 16 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 445378-10-9 CAPLUS 1-Piperidineacetamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(1-naphthalenylmethyl)-4-(phenylamino)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

445378-19-8 CAPLUS RN CN

1-Fiperidineacetamide, 4-[(2-chloropheny1)amino]-N-[2-[2-(4-cyanopheny1)ethy1]-1-methy1-1H-benzimidazo1-5-y1]-N-methy1-NAME)

$$\begin{array}{c} \text{C1} \\ \text{NH} \end{array} \\ \begin{array}{c} \text{CH}_2 - \text{CH}_2 \\ \text{CN} \end{array} \\ \text{Me} \\ \end{array}$$

ANSWER 17 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN:
408646 Document No. 137:5994 Preparation of biphenylcarboxamides as
lipid lowering agents. Meerpoel, Lieven; Backx, Leo Jacobus Jozef
(Jamssen Pharmaceutica N.V., Belg.). PCT Int. Appl. NO 200242271 A2
20020530, 49 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA,
BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,
ES, FT, GB, GD, GE, GM, GM, FR, BU, ID, II, IN, IS, JF, KE, KG, KF, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ,
PH, PL, PT, FO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RN: AT, BE,
BF, BJ, CF, CG, CB, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT,
LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN:
PIXXD2. APPLICATION: WO 2001-EP13316 20011115. PRIORITY: EP 2000-204150

The title compds. [I; p1-p3 = 1-3; R1 = H, alkyl, alkoxy, etc.; R2 = H, alkyl, alkoxy, halo, CF3; R3 = H, alkyl, R4 = alkyl, alkoxy, halo, CF3; Z = pyrrolidino, piperidino (N-atom attached to Ph ring, etc.); A = a bond, (un)substituted alkanediyl, B = H, alkyl, (un)substituted aryl, etc.), useful for the treatment of hyperlipidemia, obesity and type II diabetes, were prepared Thus, reacting N-(4-{[1E]-3-amino-1-propenyl]phenyl]-4-trifluoromethyl-[1,1'-biphenyl]-2-carboxamide (prepn.given) with Me 2-bromo-2-phenylacetate in the presence of Et3N and Bu4NI in THF afforded II. The compds. I were tested for inhibition of ApoB secretion and biol. data were given. AB 2-BLOBO-2-phonyacter-1 II. The compds. I were tested for inhibition of ApoB secretion and Diol. data were given. 432023-32-20P 43203-36-4P RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU

15 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2008 ACS On STN
2002:72044 Document No. 136:134675 Preparation of heterocyclic amino alcohol
beta-3 adrenergic receptor agonists. Ashwell, Mark Anthony; Solvibile, William Ronald; Quagliato, Dominick Anthony; Molinari, Albert John (American Home Products Corporation, USA). PCT Int Appl. WO 200206229 A2 20020124, 208 pp. DESIGNATED STATES: Wr. AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HJ, LD, LI, NI, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SS, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UM, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, FR, TT, TZ, UM, CM, MC, ML, MR, NE, NL, PT, SS, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: Wo 2001-US2237 20010716. PRICATI'S US 200-2186289 20000717.

AB This invention provides A-U-CH(OH)CH2NHCH2CH2VC6H4WZ-p (1; Z = (1-Y-X-substituted piperidin-4-yl)) or a pharmaceutically acceptable salt thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes. β3-Adrenergic receptor EC50 and maximal response (TA; % activity compound/% activity isoproterenol) values are reported for .apprx.100 example compds., e.g. 0.032 μM and 1.04 for

values

are reported for .apprx.100 example compds., e.g. 0.032 μ M and 1.04 for 4-[4-[2-[(28)-2-hydroxy-3-(4-hydroxy)-6-(4-hydroxy)-hydroxy)-henoxy]propylamino]ethyl]phenylamino]piperidine-1-carboxylic acid 2,6-difluorobenzylamide. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from 0, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted

(R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted
1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl,
1,2,3,4-tetrahydro-2-oxoquinolin-5-yl,
1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH2- or a bond; V is O

a bond; W is O, S(O)a, NR2, NC(O)R2; X = SO2, C(O), -(CH2)b, a bond, Ar;

is -NR3R4, Het, Ar, alkyl of 1-8 C atoms, O(CH2)dR5. R1 is alkyl of 1-8

atoms, -OR6, halogen, cyano, cycloalkyl of 3-8 C atoms, trifluoromethyl, CO2R6, -NRGR7, -C(O)NRGR7, -NHC(O)R6, -NRGC(O)NRGR8, -NHSO2R8, -S(O)AR6, -NO2, -O(CR2)eCO2R7, -OC(O)NRGR7, -O(CR2)FOR6, or a 5-6 membered heterocyclic ring containing 1 to 4 heteroatoms selected from O, S, and

heterocyclic ring containing 1 to 1 meconstants.

R2

is H, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety, R3 and R4 are each, independently, H, alkyl of 1-8 C atoms, cycloalkyl of 3-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl group, -(CH2)cR9, -(CH2)cR9, -(CH2)cR02, -

may optionally contain 1-2 addnl. heteroatoms selected from 0 and S, and said heterocycle may optionally be substituted with R14. R5 is H; alkyl of 1-8 C atoms optionally substituted by 1-3 substituents selected from hydroxy, halogen and aryl; cycloalkyl of 1-8 C atoms; Ar or Het; R6, R7, and R8 are each, independently, H, or alkyl of 1-8 C atoms, or aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or aryl akyl having 1-8 C atoms

ANSWER 17 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) (Therapeutic use); BIGL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses) (prepn. of biphenylcarboxamides as lipid lowering agents) 432023-32-0 CAPLUS

Teriperidinea cetamide, N-ethyl- α -phenyl-4-[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenyl]amino]- (CA INDEX NAME)

432023-36-4 CAPLUS 1-Piperidineacetamide, α -phenyl-N-propyl-4-[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenyl]amino]- (CA INDEX NAME)

ANSWER 18 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) in the alkyl moiety; R9 is H; alkyl optionally substituted with 1-3 substituents selected from hydroxy, halogen, and aryl; cycloalkyl of 3-8

atoms; Ar, or Het; R10 and R11 are each, independently, H, alkyl, or aryloptionally substituted with alkyl of 1-8 C atoms or halogen; or R10 and R11 are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. R12 and R13 are each, independently, H, alkyl of 1-8 C atoms,

optionally substituted with alkyl of 1-8 C atoms or halogen; or R12 and R13 are taken together with the N to which they are attached to form a

membered satd. heterocycle, which may optionally contain 1-2 addn1. heteroatoms selected from 0 and S, and said heterocycle may optionally be substituted with R14; R14 is COZR15 or aryl optionally substituted with a 1-3 substituted with a 1-3 substitutents selected from -OR15 and cycloalkyloxy of 3-8 C atoms;

is alkyl of 1-8 $^{\circ}$ C atoms or arylalkyl having 1-8 $^{\circ}$ C atoms in the alkyl is alky) of 1-0 closms or avylatky naving 1-0 closms in the alky) molety. At is an arom, ring system content, 1-2 carbocycelic arom, rings having (a) 6-10 C atoms optionally mono, di, or trisubstituted with R16, Bet alk of a 3-6 membered heterocyclic ring having 1-4 heteroatoms selected from (b), a heterocyclic ring yeb optionally mono- or disubstituted with R16, or (b). A heterocyclic ring system optionally mono- or disubstituted with R16, or (c) a heterocyclic ring system optionally mono- or disubstituted with R16, or (c) and (c) are considered.

contg. a 5-6 membered heterocyclic ring fused to one or two carbocyclic

heterocyclic rings such that the heterocyclic ring system contains 1-4 heteroatoms selected from O, S, and N; R16 is aryl, halogen, alkyl of 1-8 C atoms, -OR17, cycloalkyl of 3-8 C atoms, trifluoromethyl, cyano, -COZR17, -CONR17R18, -SOZNR17R18, -NR17C0R18, -NR17C0R18, -NR17C0R18, -NR2, -O(CH2)pCO2R17, -OCONR17R18, -SOZNR17R18, -NR17C0R18, -NC2, -O(CH2)pCO2R17, -OCONR17R18, -S(O)nR17, -O(CH2)qCR17,

a 5-6 membered heterocyclic ring contg. 1-4 heteroatoms selected from \odot ,

and N. R17, R18, and R19 are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, or aryl optionally mono, di, or trisubstituted with halogen, cyano, nitro, hydroxy, alkyl of 1-8 C atoms, or alkoxy of 1-8 C atoms; or when R17 and R18 are contained on a common N, R17 and R18 may be taken together with the N to which they are attached to form a 3-7 membered satd.

heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and

A = 0-2; b = 1-6; d = 0-3; e = 1-6; f = 1-6; g = 0-6; h = 0-6; j = 0-6; k = 0-6; m = 0-2; p = 1-6; q = 1-6. Methods of prepn. are claimed, comprising (a) reacting AOCH2-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H2NCH2CH2VC6H4W2-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 (U = -OCH2-). (b) reacting A-substituted oxirane or a protected form thereof in which any reactive substitutent group is protected, with H2NCH2CH2VC6H4W2-p or a protected form thereof in which are reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond; (c) reacting ACH(OPr)CH2I, wherein Pr is a protecting group, with H2NCH2CH2VC6H4W2-p

a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1

wherein U = -CCH2-. (d) reacting ACH(OH)CH2NH2 or a protected form thereof in

ANSWER 18 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) which any reactive substituent group is protected, with ROZCCHZYCGH4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1

U = -0CH2-. (e) removing any protecting group from 1 in which at least one substituent carries a protecting group to give 1; or (f) converting a basic compd. 1 to a salt thereof by reaction with a pharmaceutically acceptable acid; or (g) converting 1 having one or more reactive substituent groups to a different 1; or (h) isolating an isomer of 1 from a mixt. thereof. More than 100 example prepns. are included. 392638-32-3, [2-[4-[1-(4-Morpholin-4-yl-4-oxobutyryl)piperidin-4-ylamino]phenyl]ethyl]carbamic acid tert-butyl ester RL: RCT (Reactant); RRCT (Reactant or reagent) (reactant; preparation of heterocyclic amino alc. beta-3 adrenergic stor

receptor

agonists)
392638-32-3 CAPLUS
Carbamic acid, [2-[4-[[1-[4-(4-morpholinyl)-1,4-dioxobutyl]-4piperidinyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA

NAME)

ANSWER 19 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
tested for Aβ peptide accumulation inhibition.
365539-16-8P 365539-59-9P 365539-60-2P
365539-61-3P 365539-63-59 365539-67-9P
365539-69-1P 365539-70-4P 365539-71-5P
RL: BAC (Biological activity or effector, except adverse); BSU
logical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of succinoylaminoheterocycles as Aβ peptide production
inhibitors)
36-539-16-8 CAPLUS
1-Piperidinebutanamide, 4-(aminocarbonyl)-β-(2-methylpropyl)-γoxo-4-(phenylamino)-α-propyl-, (αS, βR)- (CA INDEX NAME)

365539-59-9 CAPLUS

эорээл-эл-у CAPLUS 1-Piperidinebutanamide, 4-(1,3-benzodioxol-5-ylamino)- β -(2-methylpropy1)- γ -oxo- α -propy1-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

365539-60-2 CAPLUS 1-Piperidinebutanamide, β -(2-methylpropyl)- γ -oxo-4-(phenylamino)- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2001:747771 Document No. 135:303912 Preparation of succinoylamino-heterocycles as AB peptide production inhibitors. Thompson, Lorin Andrew; Kasireddy, Padmaja (Dupont Pharmaceuticals Company, USA). PCT Int. Appl. Wo 20010/4796 A1 20011011, 145 pp. DESIGNATED STATES: W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB.

HU, IL, IN, JP, KR, LT, LU, LV, MX, NO, NZ, PL, FT, RO, RU, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RN: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, LE, IT, LU, MC, NL, FT, SE, TR. (English). CODEN: PIXXP2. APPLICATION: WO 2001-US10297 20010330. PRIORITY: US 2000-PV193490 20000331.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

Title compds. [I; R11 = H, CH3, LZ, OH, CH2OH, CONH2, COOCH2CH3; R12 = H, LZ, LZ = CH3, CH2C6H5, 2-CH3C6H4, 3-CF3C6H4, 4-FC6H4, CH2CH2OH, CH2CH3, CH2CHC6H5, 2,6-(CH3)2C6H3, R10, R10 = CH2COOCH2CH3, CCCH3, (4-C1C6H4)2CH; X = CH, N, CCOOCH2CH3, CN(CH3)2, COH, CCH3, CCCCH3, AB CCONH2.

12, CCCCH2CH3, etc.] are prepared and are useful as remedies of neurol. disorders related to β -amyloid production such as Alzheimer's disease

Down's syndrome. Title compds. I inhibit the processing of amyloid precursor protein and, more specifically, inhibit the production of AB-peptide, thereby acting to prevent formation of neurol deposits of amyloid protein. Thus, the title compound II was prepared and in vitro

ANSWER 19 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

365539-61-3 CAPLUS 1-Fiperidinebutanamide, 4-[(3-methoxyphenyl)amino]- β -(2-methylpropyl)- γ -oxo- α -propyl-, (aS, β R)- (CA INDEX NAME)

Absolute stereochemistry.

365539-63-5 CAPLUS

The piper id inebut an amide, 4-[(3-methoxy-4-methylphenyl)amino]- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

365539-67-9 CAPLUS 1-Piperidinebutanamide, $\beta\text{-}(2\text{-methylpropyl})\text{-}\gamma\text{-}oxo\text{-}\alpha\text{-propyl-}\text{-}\{-\{\text{-}(\text{trifluoromethyl})\text{phenyl}\}\text{amino}\}\text{-}, }(\alpha S,\beta R)\text{-} (CA INDEX NAME)$

Absolute stereochemistry.

L5 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

365539-69-1 CAPLUS 1-Piperidinebutanamide, 4-(1,3-benzodioxol-5-ylbenzoylamino)- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

365539-70-4 CAPLUS

1-Piperidinebutanamide, 4-(benzoylphenylamino)- β -(2-methylpropyl)- γ -oxo- α -propyl-, (α S, β R)- (CA INDEX NAME)

Absolute stereochemistry.

365539-71-5 CAPLUS 1-Piperidinebutanamide, 4-[benzoy1(3-methoxypheny1)amino]- β -(2-methylpropy1)-y-oxo- α -propy1-, (α S, β R)- (CA INDEX NAME)

L5 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2000:238056 Document No. 132:274335 Amide derivatives, preparation, pharmaceutical compositions, and methods for using them as selective neuropeptide Y receptor antagonists. Connell, Richard D.; Lease, Timoth G.; Ladouceur, Gaetan H.; Osterhout, Martin H. (Bayer Corporation, USA). U.S. US 6048900 A 20000411, 25 pp. (English). CODEN: USXXAM. APPLICATION: US 1998-2348 19980213.

AB Amide derivs. and methods of administering the compns. to mammals to

disorders such as obesity that are mediated by NPY and especially those mediated by NPY via the Y5 receptor.

IT 212052-34-IP 212052-63-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological unclassified). SNN (Sumbetic preparation). THU (Therapeutic use)

ogical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses) (amide derivs. for neuropeptide Y receptor antagonists, preparation,

and

pharmaceutical compns.) 212052-34-1 CAPLUS 1-Piperidineacetamide, 4-(aminocarbonyl)-N-(4-cyclohexylphenyl)-4-(phenylamino)- (CA INDEX NAME)

212052-63-6 CAPLUS

1-Piperidineacetamide, 4-(aminocarbonyl)-N-(4-benzoylphenyl)-4-(phenylamino)- (CA INDEX NAME)

ANSWER 19 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L5 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 2000:26355 Document No. 132:207824 Synthesis and in Vitro and in Vivo Functional Studies of Ortho-Substituted Phenylpiperazine and N-Substituted 4-N-(o-Methoxyphenyl)aminopiperidine Analogs of WAY100635. Mensonides-Harsema, Marquerite M.; Liao, Yi; Boettcher, Henning; Bartoszyk, Gerd D.; Greiner, Hartmunt E.; Harting, Juergen; de Boer, Peter; Wikstroen, Ekan V. (Department of Medicinal Chemistry, University of Groningen, Groningen, NL-9713 AV, Neth.). Journal of Medicinal Chemistry, 43(3), 432-439 (English) 2000. CODEN: JNCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.

WAY100635 (I, R = Me) is a silent serotonin 5-HT1A antagonist, which is now widely used to study the 5-HT1A receptor both in vivo and in vitro. In this paper, we describe the synthesis and in vitro (5-HT1A affinity AB

and pA2 values at guinea pig ileum strips) and in vivo (hypothermia and ultrasonic vocalization) pharmacol. at the serotonin 5-HTIA receptor of several closely related analogo of I (R = Me). Test compds. in which the arylpiperazine moiety of I (R = Me) was replaced by an aminopiperidine moiety showed no affinity or antagonistic activity at the 5-HTIA brown

arylamin

stor. Substitution of the o-methoxy group of I (R = Me) by larger fluoroalkoxy or sulfonyloxy substituents did not alter the in vitro or in vivo pharmacol. to any great extent; in vivo both the fluoropropyl analog and the triflate analog were equipotent to WAY100635 itself. The O-desmethyl analog (I, R = H) proved to be the most potent antagonist at the conin

260360-28-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(WAY100635 analogs as serotonin 5-HT1A receptor antagonists)
260360-17-6 CAPLUS
1-Piperidineacetamide, 4-[(2-methoxyphenyl)amino]-N-2-pyridinyl- (CA INDEX NAME)

L5 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

260360-18-7 CAPLUS

200300-10-7 CAPLOS 1-Piperidineacetamide, 4-[(2-methoxyphenyl)methylamino]-N-2-pyridinyl-(CA INDEX NAME)

260360-27-8 CAPLUS 1-Fiperidineacetamide, 4-[(2-methoxyphenyl)amino]-N-2-pyridinyl-, hydrochloride (1:7) (CA INDEX NAME)

●x HCl

260360-28-9 CAPLUS
1-Piperidineacetamide, 4-[(2-methoxyphenyl)methylamino]-N-2-pyridinyl-, hydrochloride (1:7) (CA INDEX NAME)

L5 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 1999:633519 Document No. 131:257556 Preparation of tetrahydroisoquinoline derivatives as cardiovascular agents. Watanabe, Toshihiro; Kakefuda, Akio; Kubota, Hideki; Masuda, Noriyuki (Yamanouchi Pharmaceutical Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 11269172 A 19991005 Beisei, 16 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1998-75646 19980324.

$$R^{1}$$
 N
 $CO-A^{1}$
 $A^{2}-X-A^{3}$
 B

The title compds. I [R1, R2 = H, alkyl, etc.; X = O, S, etc.; A1 = alkylene; A2 = alkylene, or A2 may be nonexistent; A3 = alkylene or A3 AB

may

be nonexistent; ring B = (un)substituted hydrocarbon ring, etc.], useful
as cardiovascular agents with If current inhibiting effect (no data), are
prepared For example, the title compound II was prepared
IT 245057-06-1P 245057-07-2P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroisoquinoline derivs. as cardiovascular
agents)
RN 245057-06-1 CAPILIR

agents)
RN 245057-06-1 CAPLUS
CN 1-Propanone,
1-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny1)-3-[4-[(3,4-dimethoxypheny1)amino]-1-piperidiny1]-, hydrochloride (1:2) (CA INDEX NAME)

L5 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

●x HCl

ANSWER 22 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 1-Propanone, 3-[4-(1,3-benzodioxol-5-ylamino)-1-piperidinyl]-1-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

L5 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 1999:576930 Document No. 131:199712 Preparation of heterocyclic compounds

glycine transport inhibitors. Luyten, Walter Herman Maria Louis; Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine (Janssen Pharmaceutica N.V., Belg.). FCT Int. Appl. WO 9945011 A1 19990910, 30

DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN.

CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, IJ, IM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, IJ, TM; RW: AT, EE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, NS, TD, TG. (English). CODEN: PIXYD2. APPLICATION: WO 1999-EP1308 19990226. PRIORITY: EP 1998-200700 19980306.

The present invention is concerned with the use of glycine transport inhibiting α, α -diphenyl-1-piperidinebutanamides for the preparation of medicaments, title compds. I (Rl, R2, = H, alkyl; X = CR4R5; R4 = H, OH, etc.; R5 = diarylmethyloxyalkyl, etc) for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alrebimer's disease) stroke, head trauma, multiple sclerosis and the like. The title compound II was arred

Formulations are given. The invention further comprises novel compds., their preparation and their pharmaceutical forms. The bioactivity of II demonstrated.

ANSWER 23 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

●1/2 HC1

241130-21-2 CAPLUS 1-Piperidinebutanamide, N,N-dimethyl- α , α -diphenyl-4-[[2-(trifluoromethyl)-1H-benzimidazol-6-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

241130-75-6

241130-75-6 CAPLUS
1-Piperidinebutanamide, 4-[acetyl[3-(trifluoromethyl)phenyl]amino]-4(methoxymethyl)-N,N-dimethyl-a,a-diphenyl- (CA INDEX NAME)

ANSWER 23 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continu 241130-15-4P 241130-17-6P 241130-19-8P 241130-12-P2 241130-75-6P RL: BAC (Biological activity or effector, except adverse); BSU (Continued)

(Biological rogical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as glycine transport inhibitors)
241130-15-4 CAPLUS

241130-15-4 CAPLOS 1-Piperidinebutanamide, N,N-dimethyl- α , α -diphenyl-4-(phenylamino)-, hydrobromide (2:1) (CA INDEX NAME)

●1/2 HBr

241130-17-6 CAPLUS
1-Piperidinebutanamide, N.N-dimethyl-a,a-diphenyl-4-(phenylamino)-4-[(phenylamino)-4-[(phenylamino)-(CA INDEX NAME)

RN 241130-19-8 CAPLUS

24110-19-8 CAPLOS
1-Piperidinebutanamide, N,N-dimethyl-α,α-diphenyl-4(phenylamino) -4-[(1-phenylethyl)amino]carbonyl]-, hydrochloride (2:1)
(CA INDEX NAME)

L5 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

1998:558820 Document No. 129:202941 Original Reference No.

129:41223a,41226a

Preparation of amide derivatives as selective neuropeptide Y receptor antagonists. Connell, Richard D.; Lease, Timothy G.; Ladouceur, Gaetan H.; Osterhout, Martin H. (Bayer Corporation, USA). FCT Int. Appl. WO 9835957 Al 19980820, 66 pp. DeSIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FT, GB, GE, GM, GW, HU, ID, IL, IS, FP, KE, KG, KF, KK, KZ, LC, LK, LK, LS, LT, LU, LV, MD, MG, MK, MN, MN, MN, NO, NZ, PL, PT, RO, RU, SD, SZ, SG, SI, SK, SI, TJ, TM, TM, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: AT, BE, BF, BT, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GG, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG. (English). CODER: PIXXD2 APPLICATION: WO 1998-US2121 19980205. PRIORITY: US 1997-800482 19970214.

The title compds. [I; R1-R5 = H, halo, OH, etc.], which exhibit selective neuropeptide Y receptor antagonistic activity and therefore are useful in the treatment of obesity and eating disorders such as bulimia, were AB prepared

ured
Thus, reaction of N-(4-cyclohexylphenyl)-2-bromoacetamide with
4-benzyl-4-hydroxypiperidine in the presence of K2C03 in DMSO afforded

title compound II which showed IC50 of 0.15 µM against hNPY5.

IT 212052-34-1P 212052-63-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of amide derivs. as selective neuropeptide Y receptor antagonists) 212052-34-1 CAPLUS 1-Piperidineacetamide, 4-(aminocarbony1)-N-(4-cyclohexylpheny1)-4-(phenylamino)- (CA INDEX NAME)

212052-63-6 CAPLUS 1-Piperidineacetamide, 4-(aminocarbonyl)-N-(4-benzoylphenyl)-4-(phenylamino)- (CA INDEX NAME)

L5 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ANSWER 25 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ANSWER 25 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN:
:718222 Document No. 126:8132 Original Reference No. 126:1811a,1814a
Preparation of arylglycinamide derivatives as tachykinin antagonists.
Schnorrenberg, Gerd; Dollinger, Horst; Esser, Franz; Briem, Hans; Jung,
Birgit; Speck, Georg (Boehringer Ingelheim Kg, Germany). Ger. Offen. DE
19519245 Al 19961017, 29 pp. (German). CODEN: GWXXEX. APPLICATION: DE
1995-19519245 19950525. PRIORITY: DE 1995-19514112 19950414.

$$Q^{1} = N \qquad X \qquad Q^{2} = N \qquad NH$$

$$Q^{1} = N \qquad X \qquad Q^{2} = N \qquad NH$$

$$Q^{1} = N \qquad X \qquad Q^{2} = N \qquad NH$$

$$Q^{1} = N \qquad X \qquad Q^{2} = N \qquad NH$$

$$Ph \qquad CF_{3} \qquad Q^{2} = N \qquad Q^{2} \qquad Q^{2} = N \qquad Q^{2} \qquad Q^{2}$$

GT

RIR2NCR3ArCONR4R5 [Ar = (substituted) Ph, naphthyl; RIR2N = Ql; X = O, N(CH2)nR6, CR7R8; n = 0-2; R6 = alkyl, (substituted) Ph, naphthyl; R7 : AB

R8 = H when R3 = (substituted) Ph; R7 = morpholinyl, piperidinyl, pyrrolidinyl, 2-pyridinylamino, Ph, PhCONH, etc; R8 = H, CONHZ, NHAC, NMAC, R7R8 = Q2; R3 = H, alkyl, (substituted) Ph; R4 = (substituted) phenylalkyl, naphthylalkyl; R5 = H, alkyl, cycloalkyl, CH2OH], were prepared

rred Thus, title compound (I) inhibited binding of 125I-labeled substance P to NKI receptors with IC50 = 1.4 nM. 183732-12-9P

L5 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN 1996:609954 Document No. 125:247623 Original Reference No. 125:46285a Preparation of 5-1(4-substituted)piperidin-1-y1]-3-arylpentanoic acid-derivative tachykinin receptor antagonists. Bernstein, Peter

Robert;

Robert;

Bembofsky, Bruce Thomas; Jacobs, Robert Toms (Zeneca Limited, UK). PC

Int. Appl. Wo 9624582 A1 19960815, 110 pp. DESIGNATED STATES: W. AC, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MM, NO, NZ, PL, PT, EO, BU, SD, SE, SG, SI, FW: AT, BE, BF, BT, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, FT, SE, SN. (English). CODEN: PIXXD2. APPLICATION: WO 1996-GB259 19960288. PRIORITY: GB 1995-2644 19950210.

AB The title compds. (I; Q1-Q4 have the meanings given in the claims; * = an optionally asym. center) [e.g., n. henzyl-5-(4-hydroxy-4-phenylpiperidino)3-(3,4-dichlorophenyl)pentanide; m.p. 64-67°] are nonpeptide antagonists of substance P and NRA (e.g., neurokinin NRI and NR2 receptors), useful for the treatment of asthma (no data), etc. (no data), are prepared

IT 181879-25-4P
BL: SPN (Symthetic preparation): THU (Therapeutic use): BTOL (Biologica)

L5 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L5 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
1984:630558 Document No. 101:230558 Original Reference No.
101:35017a,35020a
6-Aryl-4,5-dihydro-3(2H)-pyridazinone and their use. Rossy, Phillip A.;
Thyes, Marco; Franke, Albrecht; Koenig, Horst; Lehmann, Hans Dieter;
Gries, Josef; Friedrich, Ludwig, Lenke, Dieter (BASF A.-G., Fed. Rep.
Ger.). Ger. Offen. DE 3302021 Al 19840726, 52 pp. (German). CODEN:
GMXXBX. APPLICATION: DE 1983-3302021 19830122.
GI

Antihypertensive, blood platelet aggregation inhibiting, and stomach secretion inhibiting (no data) title compds. [I, R = (un) substituted amino, heterocyclyl; R1 = H, Me; R2 = H, alkyl; R3 = H; R2R3 = alkylene;

mainto, Neterocycly() A(- A, see, Ac - A, alvy)(A3 - B, RAR3 - alryse

n = 0-3] (66 compds.) were prepared Thus,
(propionamidophenyl)pyridazinone II

(R4 = Cl) was heated 8 h at 80° in DMF with morpholine to give 82%

II (R4 = morpholino).

IT 93278-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 93278-02-5 CAPLUS

NN 1-Piperidinepropanamide, 4-[(1-oxopropyl)phenylamino]-N-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

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